INDAZOLE-AMINOACETONITRILE DERIVATIVES HAVING SPECIAL PESTICIDAL ACTIVITY

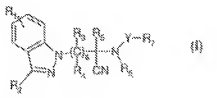
Publication number: WO03104202 (A1)
Publication date: 2003-12-18
Inventor(s): DUCRAY PIERRE [FR]; GOEBEL THOMAS [DE]; FRUECHTEL JOERG [DE] +
Applicant(s): NOVARTIS AG [CH]; NOVARTIS PHARMA GMBH [AT]; DUCRAY PIERRE [FR]; GOEBEL THOMAS [DE]; FRUECHTEL JOERG [DE] +
Classification:
- **international:** ***A01N25/04; A01N25/12; A01N25/34; A01N43/56; C07D231/56;*** **A01N25/04; A01N25/12; A01N25/34; A01N43/48; C07D231/00;** (IPC1-7): A01N43/56; C07D231/56
- **European:** A01N43/56; C07D231/56
Application number: WO2003EP05992 20030606
Priority number(s): CH20020000976 20020607

Also published as:
ZA200400407 (A)
US2006025466 (A1)
US7250436 (B2)
RU2004139033 (A)
NZ531085 (A)
more >>

Cited documents:
EP0953565 (A2)
DE19642863 (A1)
US4943584 (A)

Abstract of **WO 03104202 (A1)**

The invention relates to compounds of the general formula (I), wherein R1, R2, R3, R4, R5, R6, R7, Y and a have the significances given in claim 1, and optionally the enantiomers thereof. The active ingredients have advantageous pesticidal properties. They are especially suitable for controlling parasites on warm-blooded animals.



(I)

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
18 December 2003 (18.12.2003)

PCT

(10) International Publication Number
WO 03/104202 A1

(51) International Patent Classification[7]: C07D 231/56, A01N 43/56

(21) International Application Number: PCT/EP03/05992

(22) International Filing Date: 6 June 2003 (06.06.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
976/02 7 June 2002 (07.06.2002) CH

(71) Applicant *(for all designated States except AT, US)*: **NOVARTIS AG** [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).

(71) Applicant *(for AT only)*: **NOVARTIS PHARMA GMBH** [AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **DUCRAY, Pierre** [FR/FR]; 8, rue des allés, F-68128 Village-Neuf (FR). **GOEBEL, Thomas** [DE/DE]; Lettenweg 28B, 79539 Lörrach (DE). **FRÜCHTEL, Jörg** [DE/DE]; Rechbergstrasse 29, 79541 Lörrach (DE).

(74) Agent: **GROS, Florent**; Novartis AG, Corporate Intellectual Property, CH-4002 Basel (CH).

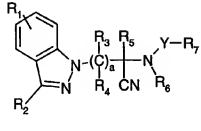
(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW.

(84) Designated States *(regional)*: Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR).

Published:
— *with international search report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: INDAZOLE-AMINOACETONITRILE DERIVATIVES HAVING SPECIAL PESTICIDAL ACTIVITY



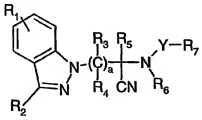
(I)

(57) Abstract: The invention relates to compounds of the general formula (I), wherein R_1, R_2, R_3, R_4, R_5, R_6, Y and a have the significances given in claim 1, and optionally the enantiomers thereof. The active ingredients have advantageous pesticidal properties. They are especially suitable for controlling parasites on warm-blooded animals.

WO 03/104202 A1

INDAZOLE-AMINOACETONITRILE DERIVATIVES HAVING SPECIAL PESTICIDAL ACTIVITY

The present invention relates to new aminoacetonitrile compounds of formula



I,

wherein

R_1 signifies hydrogen, halogen, cyano, nitro, C_1-C_6-alkyl, C_3-C_6-cycloalkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkylthio, C_1-C_6-alkoxy, C_3-C_6-cycloalkyloxy, halo-C_1-C_6-alkoxy, C_1-C_6-alkylcarbonyl, halo-C_1-C_6-alkylcarbonyl, C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl, C_1-C_6-alkylamino, di(C_1-C_6-alkyl)amino or unsubstituted or substituted phenoxy, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_6-alkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkoxy, halo-C_1-C_6-alkoxy, C_1-C_6-alkylthio, halo-C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, halo-C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl and halo-C_1-C_6-alkylsulfonyl;

R_2 signifies hydrogen, C_1-C_6-alkyl, C_3-C_6-cycloalkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkylthio, C_1-C_6-alkoxy, C_3-C_6-cycloalkyloxy, halo-C_1-C_6-alkoxy, C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl, NR_8R_9, hetaryl which is unsubstituted or substituted once or many times, phenyl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_6-alkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkoxy and halo-C_1-C_6-alkoxy;

R_3, R_4 und R_5 either, independently of one another, signify hydrogen, halogen, C_1-C_6-alkyl, halo-C_1-C_6-alkyl; C_3-C_6-cycloalkyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen and C_1-C_6-alkyl; phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_6-alkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkoxy, halo-C_1-C_6-alkoxy, C_1-C_6-alkylthio, halo-C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, halo-C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl, halo-C_1-C_6-alkylsulfonyl, C_1-C_6-alkylamino or di-(C_1-C_6-alkyl)amino;
or R_4 and R_5 together signify C_2-C_6-alkylene;

R_6 signifies hydrogen, C_1-C_6-alkyl, C_1-C_6-alkylcarbonyl, C_1-C_6-alkoxy-C_1-C_6-alkyl, aminocarbonyl, C_1-C_6-alkoxycarbonyl, halo-C_1-C_6-alkylcarbonyl, thio-C_1-C_6-alkylcarbonyl or benzyl;

R_7 signifies hydrogen, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_1-C_6-alkylamino, di(C_1-C_6-alkyl)amino, aryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_6-alkyl, C_3-C_6-cycloalkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkoxy, C_3-C_6-cycloalkyloxy, halo-C_1-C_6-alkoxy, C_2-C_6-alkenyl, halo-C_2-C_6-alkenyl, C_2-C_6-alkinyl, C_3-C_6-cycloalkyl, C_2-C_6-alkenyloxy, halo-C_2-C_6-alkenyloxy, C_1-C_6-alkylthio, halo-C_1-C_6-alkylthio, C_1-C_6-alkylsulfonyloxy, halo-C_1-C_6-alkylsulfonyloxy, C_1-C_6-alkylsulfinyl, halo-C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl, halo-C_1-C_6-alkylsulfonyl, C_2-C_6-alkenylthio, halo-C_2-C_6-alkenylthio, C_2-C_6-alkenylsulfinyl, halo-C_2-C_6-alkenylsulfinyl, C_2-C_6-alkenylsulfonyl, halo-C_2-C_6-alkenylsulfonyl, C_1-C_6-alkylamino, di(C_1-C_6-alkyl)amino, C_1-C_6-alkylsulfonylamino, halo-C_1-C_6-alkylsulfonylamino, C_1-C_6-alkylcarbonyl, halo-C_1-C_6-alkylcarbonyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-alkylaminocarbonyl, di(C_1-C_6-alkyl)aminocarbonyl; aryl-C_1-C_6-alkyl which is unsubstituted or substituted once or many times, arylamino which is unsubstituted or substituted once or many times, arylcarbonyl which is unsubstituted or substituted once or many times, arylcarbonyloxy which is unsubstituted or substituted once or many times, aryloxy which is unsubstituted or substituted once or many times, aryloxy-C_1-C_6-alkyl which is unsubstituted or substituted once or many times, hetaryloxy-C_1-C_6-alkyl which is unsubstituted or substituted once or many times, aryloxycarbonyl which is unsubstituted or substituted once or many times, arylsulfonyl which is unsubstituted or substituted once or many times, arylsulfonylamino which is unsubstituted or substituted once or many times, pyridyloxy which is unsubstituted or substituted once or many times, and phenylacetylenyl which is unsubstituted or substituted once or many times, whereby the substituents may each be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_6-alkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkoxy, halo-C_1-C_6-alkoxy, C_1-C_6-alkylthio, halo-C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, halo-C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl and halo-C_1-C_6-alkylsulfonyl;

hetaryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_6-alkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkoxy, halo-C_1-C_6-alkoxy, C_2-C_6-alkenyloxy, halo-C_2-C_6-alkenyloxy, C_1-C_6-alkylthio, halo-C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, halo-C_1-C_6-alkylsulfinyl, C_2-C_6-alkenylthio, halo-C_2-C_6-alkenylthio, C_2-C_6-alkenylsulfinyl, halo-

C_2-C_6-alkenylsulfinyl, C_1-C_6-alkylsulfonyl, halo-C_1-C_6-alkylsulfonyl, C_2-C_6-alkenylsulfonyl, halo-C_2-C_6-alkenylsulfonyl, C_1-C_6-alkylamino and di(C_1-C_6-alkyl)amino; or unsubstituted naphthyl or quinolyl, or naphthyl or quinolyl which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_6-alkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkoxy, halo-C_1-C_6-alkoxy, C_2-C_6-alkenyloxy, halo-C_2-C_6-alkenyloxy, C_1-C_6-alkylthio, halo-C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, halo-C_1-C_6-alkylsulfinyl, C_2-C_6-alkenylthio, halo-C_2-C_6-alkenylthio, C_2-C_6-alkenylsulfinyl, halo-C_2-C_6-alkenylsulfinyl, C_1-C_6-alkylsulfonyl and halo-C_1-C_6-alkylsulfonyl, C_2-C_6-alkenylsulfonyl, halo-C_2-C_6-alkenylsulfonyl, C_1-C_6-alkylamino and di-C_1-C_6-alkylamino

R_8 and R_9, independently of one another, signify hydrogen, C_1-C_6-alkyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-alkylcarbonyl, C_1-C_6-alkylthiocarbonyl, thio-C_1-C_6-alkylcarbonyl, aryl or hetaryl;

Y signifies a direct bond, C(O), C(S) or $S(O)_n$;

a signifies 1, 2 or 3; and

n is 1 or 2;

their preparation and use in the control of endo- and ectoparasites, especially helminths, in and on warm-blooded productive livestock and domestic animals and plants, and furthermore pesticides containing at least one of these compounds.

Substituted aminoacetonitrile compounds having pesticidal activity are described for example in EP-0.953.565 A2. However, the active ingredients specifically disclosed therein cannot always fulfil the requirements regarding potency and activity spectrum. There is therefore a need for active ingredients with improved pesticidal properties. It has now been found that the aminoacetonitrile compounds of formula I have excellent pesticidal properties, especially against endo- and ecto-parasites in and on productive livestock and domestic animals and plants.

Aryl is phenyl or naphthyl.

Hetaryl is pyridyl, pyrimidyl, s-triazinyl, 1,2,4-triazinyl, thienyl, furanyl, pyrryl, pyrazolyl, imidazolyl, thiazolyl, triazolyl, oxazolyl, thiadiazolyl, oxadiazolyl, benzothienyl, benzofuranyl, benzothiazolyl, indolyl or indazolyl, preferably pyridyl, pyrimidyl, s-triazinyl or 1,2,4-triazinyl, especially pyridyl or pyrimidyl.

Alkyl - as a group *per se* and as structural element of other groups and compounds, for

example halogen-alkyl, alkoxy, and alkylthio - is, in each case with due consideration of the specific number of carbon atoms in the group or compound in question, either straight-chained, i.e. methyl, ethyl, propyl, butyl, pentyl or hexyl, or branched, e.g. isopropyl, isobutyl, sec.-butyl, tert.-butyl, isopentyl, neopentyl or isohexyl.

Alkenyl - as a group *per se* and as structural element of other groups and compounds - is, in each case with due consideration of the specific number of carbon atoms in the group or compound in question and of the conjugated or isolated double bonds - either straight-chained, e.g. allyl, 2-butenyl, 3-pentenyl, 1-hexenyl or 1,3-hexadienyl, or branched, e.g. isopropenyl, isobutenyl, isoprenyl, tert.-pentenyl or isohexenyl.

Alkinyl - as a group *per se* and as structural element of other groups and compounds - is, in each case with due consideration of the specific number of carbon atoms in the group or compound in question and of the conjugated or isolated double bonds - either straight-chained, e.g. propargyl, 2-butinyl, 3-pentinyl, 1-hexinyl, 1-heptinyl or 3-hexen-1-inyl, or branched, e.g. 3-methylbut-1-inyl, 4-ethylpent-1-inyl or 4-methylhex-2-inyl.

Cycloalkyl - as a group *per se* and as structural element of other groups and compounds such as halocycloalkyl, - is, in each case with due consideration of the specific number of carbon atoms in the group or compound in question, cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl.

Halogen - as a group *per se* and as structural element of other groups and compounds such as haloalkyl, haloalkoxy and haloalkylthio - is fluorine, chlorine, bromine or iodine, especially fluorine, chlorine or bromine, in particular fluorine or chlorine.

Halogen-substituted carbon-containing groups and compounds, such as haloalkyl, haloalkoxy or haloalkylthio, may be partially halogenated or perhalogenated, whereby in the case of multiple halogenation, the halogen substituents may be identical or different. Examples of halogen-alkyl - as a group *per se* and as structural element of other groups and compounds such as haloalkoxy or haloalkylthio, - are methyl which is mono- to trisubstituted by fluorine, chlorine and/or bromine, such as CHF_2 or CF_3; ethyl which is mono- to pentasubstituted by fluorine, chlorine and/or bromine, such as CH_2CF_3, CF_2CF_3, CF_2CCl_3, CF_2CHCl_2, CF_2CHF_2, CF_2CFCl_2, CF_2CHBr_2, CF_2CHClF, CF_2CHBrF or $CClFCHClF$; propyl or isopropyl, mono- to heptasubstituted by fluorine, chlorine and/or bromine, such as $CH_2CHBrCH_2Br$, CF_2CHFCF_3, $CH_2CF_2CF_3$ or $CH(CF_3)_2$; butyl or one of its isomers, mono- to nonasubstituted by fluorine, chlorine and/or bromine, such as $CF(CF_3)CHFCF_3$ or $CH_2(CF_2)_2CF_3$; pentyl or one of its isomers substituted once to eleven times by fluorine, chlorine and/or bromine, such as

$CF(CF_3)(CHF)_2CF_3$ or $CH_2(CF_2)_3CF_3$; and hexyl or one of its isomers substituted once to thirteen times by fluorine, chlorine and/or bromine, such as $(CH_2)_4CHBrCH_2Br$, $CF_2(CHF)_4CF_3$, $CH_2(CF_2)_4CF_3$ or $C(CF_3)_2(CHF)_2CF_3$.

Alkoxy groups preferably have a chain length of 1 to 6 carbon atoms. Alkoxy is for example methoxy, ethoxy, propoxy, isopropoxy, n-butoxy, isobutoxy, sec.-butoxy and tert.-butoxy, as well as the isomers pentyloxy and hexyloxy; preferably methoxy and ethoxy. Haloalkoxy groups preferably have a chain length of 1 to 6 carbon atoms. Haloalkoxy is e.g. fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2,2,2-trifluoroethoxy, 1,1,2,2-tetrafluoroethoxy, 2-fluoroethoxy, 2-chloroethoxy, 2,2-difluoroethoxy and 2,2,2-trichloroethoxy; preferably difluoromethoxy, 2-chloroethoxy and trifluoromethoxy.

Alkylthio groups preferably have a chain length of 1 to 6 carbon atoms. Alkylthio is for example methylthio, ethylthio, propylthio, isopropylthio, n-butylthio, isobutylthio, sec.-butylthio or tert.-butylthio, preferably methylthio and ethylthio.

Preferred embodiments within the scope of the invention are:

(1) A compound of formula I, wherein R_1 signifies hydrogen, halogen, cyano, nitro, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_1-C_4-alkylcarbonyl, halo-C_1-C_4-alkylcarbonyl, C_1-C_4-alkylsulfonyl or unsubstituted or substituted phenoxy, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy and halo-C_1-C_4-alkoxy;

especially hydrogen, halogen, cyano, nitro, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy or unsubstituted or substituted phenoxy, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy and halo-C_1-C_4-alkoxy;

most particularly hydrogen, halogen, cyano, nitro, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy or halo-C_1-C_2-alkoxy;

(2) A compound of formula I, wherein R_2 signifies hydrogen, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkylthio, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy or C_1-C_4-alkylsulfonyl;

especially hydrogen, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy or halo-C_1-C_2-alkoxy;

most particularly hydrogen, methyl or halomethyl;

(3) A compound of formula I, wherein R_3, R_4 and R_5, independently of one another, are hydrogen, halogen, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_3-C_6-cycloalkyl; phenyl that is either

unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy; C_1-C_4-alkylthio and halo-C_1-C_4-alkylthio; or R_4 and R_5 together are C_2-C_6-alkylene;

especially, independently of one another, hydrogen, halogen, C_1-C_2-alkyl, halo-C_1-C_2-alkyl or C_3-C_6-cycloalkyl;

most particularly, independently of one another, hydrogen, methyl or halomethyl;

(4) A compound of formula I, wherein R_6 is hydrogen, C_1-C_4-alkyl, C_1-C_4-alkylcarbonyl, C_1-C_6-alkoxy-C_1-C_6-alkyl or benzyl;

especially hydrogen, C_1-C_2-alkyl, C_1-C_2-alkylcarbonyl or benzyl;

most particularly hydrogen or C_1-C_2-alkyl;

(5) A compound of formula I, wherein R_7 signifies aryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_2-C_4-alkenyl, halo-C_2-C_4-alkenyl, C_2-C_4-alkinyl, C_3-C_6-cycloalkyl, C_2-C_4-alkenyloxy, halo-C_2-C_4-alkenyloxy, C_1-C_4-alkylthio, halo-C_1-C_4-alkylthio, C_1-C_4-alkylsulfonyloxy, halo-C_1-C_4-alkylsulfonyloxy, C_1-C_4-alkylsulfonyl, halo-C_1-C_4-alkylsulfonyl, C_2-C_4-alkenylsulfonyl, halo-C_2-C_4-alkenylsulfonyl, C_1-C_4-alkylamino, di(C_1-C_4-alkyl)amino, C_1-C_4-alkylcarbonyl, halo-C_1-C_4-alkylcarbonyl, C_1-C_6-alkoxycarbonyl; aryl-C_1-C_4-alkyl which is unsubstituted or substituted once or many times, aryloxy which is unsubstituted or substituted once or many times, aryloxy-C_1-C_4-alkyl which is unsubstituted or substituted once or many times, hetaryloxy-C_1-C_4-alkyl which is unsubstituted or substituted once or many times, aryloxycarbonyl which is unsubstituted or substituted once or many times, arylsulfonyl which is unsubstituted or substituted once or many times, and pyridyloxy which is unsubstituted or substituted once or many times, whereby the substituents may each be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_1.C_4-alkylthio, halo-C_1.C_4-alkylthio, C_1-C_4-alkylsulfonyl and halo-C_1-C_4-alkylsulfonyl;
hetaryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of

halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_2-C_4-alkenyloxy, halo-C_2-C_4-alkenyloxy, C_1-C_4-alkylthio, halo-C_1-C_4-alkylthio, C_1-C_4-alkylsulfonyl and halo-C_1-C_4-alkylsulfonyl; or

naphthyl or quinolyl which are unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_2-C_4-alkenyloxy, halo-C_2-C_4-alkenyloxy, C_1-C_4-alkylthio, halo-C_1-C_4-alkylthio, C_2-C_4-alkenylthio, halo-C_2-C_4-alkenylthio, C_1-C_4-alkylsulfonyl and halo-C_1-C_4-alkylsulfonyl;

in particular aryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, C_3-C_5-cycloalkyl, C_1-C_2-alkylthio, halo-C_1-C_2-alkylthio, C_1-C_2-alkylsulfonyl, halo-C_1-C_2-alkylsulfonyl, C_1-C_2-alkylcarbonyl, halo-C_1-C_2-alkylcarbonyl, C_1-C_2-alkoxycarbonyl; aryl-C_1-C_2-alkyl which is unsubstituted or substituted once or many times, aryloxy which is unsubstituted or substituted once or many times, aryloxy-C_1-C_2-alkyl which is unsubstituted or substituted once or many times, and pyridyloxy which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, C_1-C_2-alkylthio, halo-C_1-C_2-alkylthio, C_1-C_2-alkylsulfonyl and halo-C_1-C_2-alkylsulfonyl; or

hetaryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, C_2-C_4-alkenyloxy, halo-C_2-C_4-alkenyloxy, C_1-C_2-alkylthio, halo-C_1-C_2-alkylthio, C_1-C_2-alkylsulfonyl and halo-C_1-C_2-alkylsulfonyl;

most particularly aryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, C_3-C_5-cycloalkyl, C_1-C_2-alkylcarbonyl, halo-C_1-C_2-alkylcarbonyl, C_1-C_2-alkoxycarbonyl; aryl-C_1-C_2-alkyl which is unsubstituted or substituted once or many times, and aryloxy-$C_{1\text{-}2}$-alkyl which is unsubstituted or substituted once or many times, whereby the substituents may each be independent of one another and are selected from the group consisting of halogen, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy and halo-C_1-C_2-alkoxy;

(6) a compound of formula I, wherein R_8 und R_9 independently of one another, signify hydrogen, C_1-C_6-alkyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-alkylcarbonyl or aryl;

especially, independently of one another, hydrogen or C_1-C_4-alkyl;

especially, independently of one another, hydrogen or C_1-C_2-alkyl;

(7) A compound of formula I, wherein Y is C(O) or $S(O)_n$;

especially C(O);

(8) A compound of formula I, wherein a is 1 or 2;

especially 1;

(9) A compound of formula I, wherein n is 2;

(10) A compound of formula I, wherein

R_1 signifies hydrogen, halogen, cyano, nitro, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_1-C_4-alkylcarbonyl, halo-C_1-C_4-alkylcarbonyl, C_1-C_4-alkylsulfonyl or unsubstituted or substituted phenoxy, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy and halo-C_1-C_4-alkoxy;

R_2 is hydrogen, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkylthio, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy or C_1-C_4-alkylsulfonyl;

R_3, R_4 and R_5 , independently of one another, are hydrogen, halogen, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_3-C_6-cycloalkyl; phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy; C_1-C_4-alkylthio and halo-C_1-C_4-alkylthio; or R_4 and R_5 together are C_2-C_6-alkylene;

R_6 is hydrogen, C_1-C_4-alkyl, C_1-C_4-alkylcarbonyl, C_1-C_6-alkoxy-C_1-C_6-alkyl or benzyl;

R_7 signifies aryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_2-C_4-alkenyl, halo-C_2-C_4-alkenyl, C_2-C_4-alkinyl, C_3-C_6-cycloalkyl, C_2-C_4-alkenyloxy, halo-C_2-C_4-alkenyloxy, C_1-C_4-alkylthio, halo-C_1-C_4-alkylthio, C_1-C_4-alkylsulfonyloxy, halo-C_1-C_4-alkylsulfonyloxy, C_1-C_4-alkylsulfonyl, halo-C_1-C_4-alkylsulfonyl, C_2-C_4-alkenylsulfonyl, halo-C_2-

C_4-alkenylsulfonyl, C_1-C_4-alkylamino, di(C_1-C_4-alkyl)amino, C_1-C_4-alkylcarbonyl, halo-C_1-C_4-alkylcarbonyl, C_1-C_6-alkoxycarbonyl; aryl-C_1-C_4-alkyl which is unsubstituted or substituted once or many times, aryloxy which is unsubstituted or substituted once or many times, aryloxy-C_1-C_4-alkyl which is unsubstituted or substituted once or many times, hetaryloxy-C_1-C_4-alkyl which is unsubstituted or substituted once or many times, aryloxycarbonyl which is unsubstituted or substituted once or many times, arylsulfonyl which is unsubstituted or substituted once or many times, and pyridyloxy which is unsubstituted or substituted once or many times, whereby the substituents may each be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_1-C_4-alkylthio, halo-C_1-C_4-alkylthio, C_1-C_4-alkylsulfonyl and halo-C_1-C_4-alkylsulfonyl;

hetaryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_2-C_4-alkenyloxy, halo-C_2-C_4-alkenyloxy, C_1-C_4-alkylthio, halo-C_1-C_4-alkylthio, C_1-C_4-alkylsulfonyl and halo-C_1-C_4-alkylsulfonyl; or

naphthyl or quinolyl which are unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_2-C_4-alkenyloxy, halo-C_2-C_4-alkenyloxy, C_1-C_4-alkylthio, halo-C_1-C_4-alkylthio, C_2-C_4-alkenylthio, halo-C_2-C_4-alkenylthio, C_1-C_4-alkylsulfonyl and halo-C_1-C_4-alkylsulfonyl;

R_8 und R_9 independently of one another, signify hydrogen, C_1-C_6-alkyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-alkylcarbonyl or aryl;

Y is C(O) or $S(O)_n$;

a signifies 1 or 2;and

n signifies 2;

(11) A compound of formula I, wherein

R_1 signifies hydrogen, halogen, cyano, nitro, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy or unsubstituted or substituted phenoxy, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy and halo-C_1-C_4-alkoxy;

R_2 signifies hydrogen, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy or halo-C_1-C_2-alkoxy;

R_3, R_4 and R_5, independently of one another, signify hydrogen, halogen, C_1-C_2-alkyl, halo-C_1-C_2-alkyl or C_3-C_6-cycloalkyl;

R_6 signifies hydrogen, C_1-C_2-alkyl, C_1-C_2-alkylcarbonyl or benzyl;

R_7 signifies aryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, C_3-C_5-cycloalkyl, C_1-C_2-alkylthio, halo-C_1-C_2-alkylthio, C_1-C_2-alkylsulfonyl, halo-C_1-C_2-alkylsulfonyl, C_1-C_2-alkylcarbonyl, halo-C_1-C_2-alkylcarbonyl, C_1-C_2-alkoxycarbonyl; aryl-C_1-C_2-alkyl which is unsubstituted or substituted once or many times, aryloxy which is unsubstituted or substituted once or many times, aryloxy-C_1-C_2-alkyl which is unsubstituted or substituted once or many times, and pyridyloxy which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, C_1-C_2-alkylthio, halo-C_1-C_2-alkylthio, C_1-C_2-alkylsulfonyl and halo-C_1-C_2-alkylsulfonyl; or
hetaryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, C_2-C_4-alkenyloxy, halo-C_2-C_4-alkenyloxy, C_1-C_2-alkylthio, halo-C_1-C_2-alkylthio, C_1-C_2-alkylsulfonyl and halo-C_1-C_2-alkylsulfonyl;

R_8 and R_9, independently of one another, signify hydrogen or C_1-C_4-alkyl;

Y signifies C(O);

a signifies 1; and

n signifies 2;

(12) A compound of formula I, wherein

R_1 signifies hydrogen, halogen, cyano, nitro, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy or halo-C_1-C_2-alkoxy;

R_2 is hydrogen, methyl or halomethyl;

R_3, R_4 and R_5, independently of one another, signify hydrogen, methyl or halomethyl;

R_6 signifies hydrogen or C_1-C_2-alkyl;

R_7 signifies aryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, C_3-C_5-cycloalkyl, C_1-C_2-alkylcarbonyl, halo-C_1-C_2-alkylcarbonyl, C_1-C_2-alkoxycarbonyl; aryl-C_1-C_2-alkyl which is unsubstituted or substituted once or many times, and aryloxy-C_1-C_2-alkyl which is unsubstituted or substituted once or many times, whereby the substituents may each be independent of one another and are selected from the group consisting of halogen, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy and halo-C_1-C_2-alkoxy;

R_8 and R_9, independently of one another, signify hydrogen or C_1-C_2-alkyl;

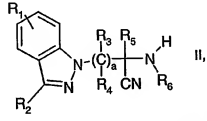
Y signifies C(O);

a signifies 1; and

n is 2.

Within the context of the invention, particular preference is given to the compounds of formula I listed in Table 1, and most particularly those named in the synthesis examples.

A further object of the invention is the process for the preparation of the compounds of formula I, respectively in free form or in salt form, for example characterised in that a compound of formula



II,

which is known or may be produced analogously to corresponding known compounds, and wherein R_1, R_2, R_3, R_4, R_5, R_6 and a are defined as given for formula I, is reacted with a compound of formula

$$Q-Y-R_7 \quad \text{III},$$

which is known or may be prepared analogously to corresponding known compounds, and wherein Y and R_7 are defined as given for formula I and Q is a leaving group, optionally in the presence of a basic catalyst, and if desired, a compound of formula I obtainable according to the method or in another way, respectively in free form or in salt form, is converted into another compound of formula I, a mixture of isomers obtainable according to

the method is separated and the desired isomer isolated and/or a free compound of formula I obtainable according to the method is converted into a salt or a salt of a compound of formula I obtainable according to the method is converted into the free compound of formula I or into another salt.

What has been stated above for salts of compounds I also applies analogously to salts of the starting materials listed hereinabove and hereinbelow.

The reaction partners can be reacted with one another as they are, i.e. without the addition of a solvent or diluent, e.g. in the melt. In most cases, however, the addition of an inert solvent or diluent, or a mixture thereof, is of advantage. Examples of such solvents or diluents are: aromatic, aliphatic and alicyclic hydrocarbons and halogenated hydrocarbons, such as benzene, toluene, xylene, mesitylene, tetraline, chlorobenzene, dichlorobenzene, bromobenzene, petroleum ether, hexane, cyclohexane, dichloromethane, trichloromethane, tetrachloromethane, dichloroethane, trichloroethene or tetrachloroethene; ethers, such as diethyl ether, dipropyl ether, diisopropyl ether, dibutyl ether, tert-butyl methyl ether, ethylene glycol monomethyl ether, ethylene glycol monoethyl ether, ethylene glycol dimethylether, dimethoxydiethylether, tetrahydrofuran or dioxane; ketones such as acetone, methyl ethyl ketone or methyl isobutyl ketone; amides such as N,N-dimethylformamide, N,N-diethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone or hexamethylphosphoric acid triamide; nitriles such as acetonitrile or propionitrile; and sulfoxides, such as dimethyl sulfoxide.

Preferred leaving groups are halogens, especially chlorine.

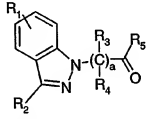
Suitable bases for facilitating the reaction are e.g. alkali metal or alkaline earth metal hydroxides, hydrides, amides, alkanolates, acetates, carbonates, dialkylamides or alkylsilylamides; alkylamines, alkylenediamines, optionally N-alkylated, optionally unsaturated, cycloalkylamines, basic heterocycles, ammonium hydroxides, as well as carbocyclic amines. Those which may be mentioned by way of example are sodium hydroxide, hydride, amide, methanolate, acetate, carbonate, potassium tert.-butanolate, hydroxide, carbonate, hydride, lithium diisopropylamide, potassium bis(trimethylsilyl)-amide, calcium hydride, triethylamine, diisopropylethylamine, triethylenediamine, cyclohexylamine, N-cyclohexyl-N,N-dimethylamine, N,N-diethylaniline, pyridine, 4-(N,N-dimethylamino)pyridine, quinuclidine, N-methylmorpholine, benzyltrimethylammonium hydroxide, as well as 1,5-diazabicyclo[5.4.0]undec-5-ene (DBU). Preference is given to diisopropylethylamine and 4-(N,N-dimethylamino)pyridine.

The reaction advantageously takes place in a temperature range of ca. 0°C to ca. 100°C ,

preferably from ca. 10°C to ca. 40°C .

In a preferred process, a compound of formula II is reacted at room temperature in a halogenated hydrocarbon, preferably dichloromethane, with a compound of formula III in the presence of a base, preferably a mixture of diisopropylethylamine and 4-(N,N-dimethylamino)pyridine.

A further object of the invention is the process for the preparation of the compounds of formula II, respectively in free form or in salt form, for example characterised in that a compound of formula



IV,

which is known or may be produced analogously to corresponding known compounds, in which R_1, R_2, R_3, R_4, R_5 and a are defined as for formula I, is reacted with an inorganic or organic cyanide and a compound of formula R_6-NH_2, which is known or may be produced analogously to corresponding known compounds and wherein R_6 is defined as for formula I, and if desired, a compound of formula II obtainable according to the method or in another way, respectively in free form or in salt form, is converted into another compound of formula II, a mixture of isomers obtainable according to the method is separated and the desired isomer isolated and/or a free compound of formula II obtainable according to the method is converted into a salt or a salt of a compound of formula II obtainable according to the method is converted into the free compound of formula II or into another salt

Suitable cyanides are sodium cyanide, potassium cyanide, trimethylsilyl cyanide and acetone cyanohydrin.

The general method for reacting carbonyl compounds, e.g. of formula IV, with cyanides and amines, e.g. of formula R_6-NH_2, is a Strecker reaction, for example as in Organic Synthesis Coll. Vol. 3, 88 (1973).

Salts of compounds I may be produced in known manner. Acid addition salts of compounds I, for example, are obtainable by treatment with a suitable acid or a suitable ion exchange reagent, and salts with bases are obtainable by treatment with a suitable base or a suitable ion exchange reagent.

Salts of compounds I can be converted into the free compounds I by the usual means, acid addition salts e.g. by treating with a suitable basic composition or with a suitable ion exchange reagent, and salts with bases e.g. by treating with a suitable acid or a suitable ion exchange reagent.

Salts of compounds I can be converted into other salts of compounds I in a known manner; acid addition salts can be converted for example into other acid addition salts, e.g. by treating a salt of an inorganic acid, such as a hydrochloride, with a suitable metal salt, such as a sodium, barium, or silver salt, of an acid, e.g. with silver acetate, in a suitable solvent, in which a resulting inorganic salt, e.g. silver chloride, is insoluble and thus precipitates out from the reaction mixture.

Depending on the method and/or reaction conditions, compounds I with salt-forming characteristics can be obtained in free form or in the form of salts.

Compounds I can also be obtained in the form of their hydrates and/or also can include other solvents, used for example where necessary for the crystallisation of compounds present in solid form.

The compounds I may be optionally present as optical and/or geometric isomers or as a mixture thereof. The invention relates both to the pure isomers and to all possible isomeric mixtures, and is hereinbefore and hereinafter understood as doing so, even if stereochemical details are not specifically mentioned in every case.

Diastereoisomeric mixtures of compounds I, which are obtainable by the process or in another way, may be separated in known manner, on the basis of the physical-chemical differences in their components, into the pure diastereoisomers, for example by fractional crystallisation, distillation and/or chromatography.

Splitting of mixtures of enantiomers, that are obtainable accordingly, into the pure isomers, may be achieved by known methods, for example by recrystallisation from an optically active solvent, by chromatography on chiral adsorbents, e.g. high-pressure liquid chromatography (HPLC) on acetyl cellulose, with the assistance of appropriate micro-organisms, by cleavage with specific immobilised enzymes, through the formation of inclusion compounds, e.g. using chiral crown ethers, whereby only one enantiomer is complexed.

According to the invention, apart from separation of corresponding isomer mixtures, generally known methods of diastereoselective or enantioselective synthesis can also be applied to obtain pure diastereoisomers or enantiomers, e.g. by carrying out the method of

the invention using educts with correspondingly suitable stereochemistry.

It is advantageous to isolate or synthesise the biologically more active isomer, e.g. enantiomer, provided that the individual components have differing biological efficacy.

In the method of the present invention, the starting materials and intermediates used are preferably those that lead to the compounds I described at the beginning as being especially useful.

The invention relates especially to the method of preparation described in the example.

Starting materials and intermediates, which are new and are used according to the invention for the preparation of compounds I, as well as their usage and process for the preparation thereof, similarly form an object of the invention.

The compounds I according to the invention are notable for their particularly broad activity spectrum and are valuable active ingredients for use in pest control, including in particular the control of endo- and ecto-parasites on animals, whilst being well-tolerated by warm-blooded animals, fish and plants,

In the context of the present invention, ectoparasites are understood to be in particular insects, mites and ticks. These include insects of the order: *Lepidoptera, Coleoptera, Homoptera, Heteroptera, Diptera, Thysanoptera, Orthoptera, Anoplura, Siphonaptera, Mallophaga, Thysanura, Isoptera, Psocoptera* and *Hymenoptera*. However, the ectoparasites which may be mentioned in particular are those which trouble humans or animals and carry pathogens, for example flies such as *Musca domestica, Musca vetustissima, Musca autumnalis, Fannia canicularis, Sarcophaga carnaria, Lucilia cuprina, Hypoderma bovis, Hypoderma lineatum, Chrysomyia chloropyga, Dermatobia hominis, Cochliomyia hominivorax, Gasterophilus intestinalis, Oestrus ovis, Stomoxys calcitrans, Haematobia irritans* and midges *(Nematocera)*, such as *Culicidae, Simuliidae, Psychodidae*, but also blood-sucking parasites, for example fleas, such as *Ctenocephalides felis* and *Ctenocephalides canis* (cat and dog fleas), *Xenopsylla cheopis, Pulex irritans, Dermatophilus penetrans*, lice, such as *Damalina ovis, Pediculus humanis*, biting flies and horse-flies *(Tabanidae), Haematopota spp.* such as *Haematopota pluvialis, Tabanidea spp.* such as *Tabanus nigrovittatus, Chrysopsinae* spp. such as *Chrysops caecutiens*, tsetse flies, such as species of *Glossinia*, biting insects, particularly cockroaches, such as *Blatella germanica, Blatta orientalis, Periplaneta americana*, mites, such as *Dermanyssus gallinae, Sarcoptes scabiei, Psoroptes ovis* and *Psorergates spp.* and last but not least ticks. The

latter belong to the order *Acarina*. Known representatives of ticks are, for example, *Boophilus, Amblyomma, Anocentor, Dermacentor, Haemaphysalis, Hyalomma, Ixodes, Rhipicentor, Margaropus, Rhipicephalus, Argas, Otobius* and *Ornithodoros* and the like, which preferably infest warm-blooded animals including farm animals, such as cattle, pigs, sheep and goats, poultry such as chickens, turkeys and geese, fur-bearing animals such as mink, foxes, chinchillas, rabbits and the like, as well as domestic animals such as cats and dogs, but also humans.

Compounds I can also be used against hygiene pests, especially of the order *Diptera* of the families *Sarcophagidae, Anophilidae* and *Culicidae*; the orders *Orthoptera, Dictyoptera* (e.g. the family *Blattidae*) and *Hymenoptera* (e.g. the family *Formicidae*).

Compounds I also have sustainable efficacy on parasitic mites and insects of plants. In the case of spider mites of the order *Acarina*, they are effective against eggs, nymphs and adults of *Tetranychidae* (*Tetranychus spp.* and *Panonychus spp.*).

They have high activity against sucking insects of the order *Homoptera*, especially against pests of the families *Aphididae, Delphacidae, Cicadellidae, Psyllidae, Loccidae, Diaspididae* and *Eriophydidae* (e.g. rust mite on citrus fruits); the orders *Hemiptera, Heteroptera* and *Thysanoptera*, and on the plant-eating insects of the orders *Lepidoptera, Coleoptera, Diptera* and *Orthoptera*

They are similarly suitable as a soil insecticide against pests in the soil.

The compounds of formula I are therefore effective against all stages of development of sucking insects and eating insects on crops such as cereals, cotton, rice, maize, soya, potatoes, vegetables, fruit, tobacco, hops, citrus, avocados and other crops.

The compounds of formula I are also effective against plant nematodes of the species *Meloidogyne, Heterodera, Pratylenchus, Ditylenchus, Radopholus, Rizoglyphus* etc.

In particular, the compounds are effective against helminths, in which the endoparasitic nematodes and trematodes may be the cause of serious diseases of mammals and poultry, e.g. sheep, pigs, goats, cattle, horses, donkeys, dogs, cats, guinea-pigs and exotic birds. Typical nematodes of this indication are: *Haemonchus, Trichostrongylus, Ostertagia, Nematodirus, Cooperia, Ascaris, Bunostonum, Oesophagostonum, Charbertia, Trichuris, Strongylus, Trichonema, Dictyocaulus, Capillaria, Heterakis, Toxocara, Ascaridia, Oxyuris, Ancylostoma, Uncinaria, Toxascaris* and *Parascaris*. The trematodes include, in particular, the family of *Fasciolideae*, especially *Fasciola hepatica*. It could also be shown surprisingly

and unexpectedly that the compounds of formula I have exceptionally high efficacy against nematodes that are resistant to many active substances. This can be demonstrated *in vitro* by the LDA test and *in vivo* for example in Mongolian gerbils and sheep. It was shown that amounts of active substance which kill sensitive strains of *Haemonchus contortus* or *Trichostrongylus colubriformis*, are also sufficiently effective at controlling corresponding strains that are resistant to benzimidazoles, levamisol and macrocyclic lactones (for example ivermectin).

Certain pests of the species *Nematodirus, Cooperia* and *Oesophagostonum* infest the intestinal tract of the host animal, while others of the species *Haemonchus* and *Ostertagia* are parasitic in the stomach and those of the species *Dictyocaulus* are parasitic in the lung tissue. Parasites of the families *Filariidae* and *Setariidae* may be found in the internal cell tissue and in the organs, e.g. the heart, the blood vessels, the lymph vessels and the subcutaneous tissue. A particularly notable parasite is the heartworm of the dog, *Dirofilaria immitis*. The compounds of formula I are highly effective against these parasites.

Furthermore, the compounds of formula I are suitable for the control of human pathogenic parasites. Of these, typical representatives that appear in the digestive tract are those of the species *Ancylostoma, Necator, Ascaris, Strongyloides, Trichinella, Capillaria, Trichuris* and *Enterobius*. The compounds of the present invention are also effective against parasites of the species *Wuchereria, Brugia, Onchocerca* and *Loa* from the family of *Filariidae*, which appear in the blood, in the tissue and in various organs, and also against *Dracunculus* and parasites of the species *Strongyloides* and *Trichinella*, which infect the gastrointestinal tract in particular.

The good pesticidal activity of the compounds of formula I according to the invention corresponds to a mortality rate of at least 50-60% of the pests mentioned. In particular, the compounds of formula I are notable for the exceptionally long duration of efficacy.

The compounds of formula I are preferably employed in unmodified form or preferably together with the adjuvants conventionally used in the art of formulation and may therefore be processed in a known manner to give, for example, emulsifiable concentrates, directly dilutable solutions, dilute emulsions, soluble powders, granules or microencapsulations in polymeric substances. As with the compositions, the methods of application are selected in accordance with the intended objectives and the prevailing circumstances.

The formulation, i.e. the agents, preparations or compositions containing the active ingredient of formula I, or combinations of these active ingredients with other active

ingredients, and optionally a solid or liquid adjuvant, are produced in a manner known *per se*, for example by intimately mixing and/or grinding the active ingredients with spreading compositions, for example with solvents, solid carriers, and optionally surface-active compounds (surfactants).

The solvents in question may be: alcohols, such as ethanol, propanol or butanol, and glycols and their ethers and esters, such as propylene glycol, dipropylene glycol ether, ethylene glycol, ethylene glycol monomethyl or -ethyl ether, ketones, such as cyclohexanone, isophorone or diacetanol alcohol, strong polar solvents, such as N-methyl-2-pyrrolidone, dimethyl sulfoxide or dimethylformamide, or water, vegetable oils, such as rape, castor, coconut, or soybean oil, and also, if appropriate, silicone oils.

Preferred application forms for usage on warm-blooded animals in the control of helminths include solutions, emulsions, suspensions (drenches), food additives, powders, tablets including effervescent tablets, boli, capsules, micro-capsules and pour-on formulations, whereby the physiological compatibility of the formulation excipients must be taken into consideration.

The binders for tablets and boli may be chemically modified polymeric natural substances that are soluble in water or in alcohol, such as starch, cellulose or protein derivatives (e.g. methyl cellulose, carboxymethyl cellulose, ethylhydroxyethyl cellulose, proteins such as zein, gelatin and the like), as well as synthetic polymers, such as polyvinyl alcohol, polyvinyl pyrrolidone etc. The tablets also contain fillers (e.g. starch, microcrystalline cellulose, sugar, lactose etc.), glidants and disintegrants.

If the anthelminthics are present in the form of feed concentrates, then the carriers used are e.g. performance feeds, feed grain or protein concentrates. Such feed concentrates or compositions may contain, apart from the active ingredients, also additives, vitamins, antibiotics, chemotherapeutics or other pesticides, primarily bacteriostats, fungistats, coccidiostats, or even hormone preparations, substances having anabolic action or substances which promote growth, which affect the quality of meat of animals for slaughter or which are beneficial to the organism in another way. If the compositions or the active ingredients of formula I contained therein are added directly to feed or to the drinking troughs, then the formulated feed or drink contains the active ingredients preferably in a concentration of ca. 0.0005 to 0.02 % by weight (5-200 ppm).

The compounds of formula I according to the invention may be used alone or in combination with other biocides. They may be combined with pesticides having the same sphere of

activity e.g. to increase activity, or with substances having another sphere of activity e.g. to broaden the range of activity. It can also be sensible to add so-called repellents. If the range of activity is to be extended to endoparasites, e.g. wormers, the compounds of formula I are suitably combined with substances having endoparasitic properties. Of course, they can also be used in combination with antibacterial compositions. Since the compounds of formula I are adulticides, i.e. since they are effective in particular against the adult stages of the target parasites, the addition of pesticides which instead attack the juvenile stages of the parasites may be very advantageous. In this way, the greatest part of those parasites that produce great economic damage will be covered. Moreover, this action will contribute substantially to avoiding the formation of resistance. Many combinations may also lead to synergistic effects, i.e. the total amount of active ingredient can be reduced, which is desirable from an ecological point of view. Preferred groups of combination partners and especially preferred combination partners are named in the following, whereby combinations may contain one or more of these partners in addition to a compound of formula I.

Suitable partners in the mixture may be biocides, e.g. the insecticides and acaricides with a varying mechanism of activity, which are named in the following and have been known to the person skilled in the art for a long time, e.g. chitin synthesis inhibitors, growth regulators; active ingredients which act as juvenile hormones; active ingredients which act as adulticides; broad-band insecticides, broad-band acaricides and nematicides; and also the well known anthelminthics and insect- and/or acarid-deterring substances, said repellents or detachers.

Non-limitative examples of suitable insecticides and acaricides are:

1. Abamectin	12. Azinphos A	23. Bromophos A
2. AC 303 630	13. Azinphos M	24. Bufencarb
3. Acephat	14. Azocyclotin	25. Buprofezin
4. Acrinathrin	15. *Bacillus subtil.* toxin	26. Butocarboxim
5. Alanycarb	16. Bendiocarb	27. Butylpyridaben
6. Aldicarb	17. Benfuracarb	28. Cadusafos
7. α-Cypermethrin	18. Bensultap	29. Carbaryl
8. Alphamethrin	19. β-Cyfluthrin	30. Carbofuran
9. Amitraz	20. Bifenthrin	31. Carbophenothion
10. Avermectin B_1	21. BPMC	32. Cartap
11. AZ 60541	22. Brofenprox	33. Cloethocarb

34. Chlorethoxyfos
35. Chlorfenapyr
36. Chlorfluazuron
37. Chlormephos
38. Chlorpyrifos
39. Cis-Resmethrin
40. Clocythrin
41. Clofentezin
42. Cyanophos
43. Cycloprothrin
44. Cyfluthrin
45. Cyhexatin
46. D 2341
47. Deltamethrin
48. Demeton M
49. Demeton S
50. Demeton-S-methyl
51. Dichlofenthion
52. Dicliphos
53. Diethion
54. Diflubenzuron
55. Dimethoat
56. Dimethylvinphos
57. Dioxathion
58. DPX-MP062
59. Edifenphos
60. Emamectin
61. Endosulfan
62. Esfenvalerat
63. Ethiofencarb
64. Ethion
65. Ethofenprox
66. Ethoprophos
67. Etrimfos
68. Fenamiphos
69. Fenazaquin
70. Fenbutatinoxid
71. Fenitrothion
72. Fenobucarb
73. Fenothiocarb
74. Fenoxycarb
75. Fenpropathrin
76. Fenpyrad
77. Fenpyroximate
78. Fenthion
79. Fenvalerate
80. Fipronil
81. Fluazinam
82. Fluazuron
83. Flucycloxuron
84. Flucythrinat
85. Flufenoxuron
86. Flufenprox
87. Fonofos
88. Formothion
89. Fosthiazat
90. Fubfenprox
91. HCH
92. Heptenophos
93. Hexaflumuron
94. Hexythiazox
95. Hydroprene
96. Imidacloprid
97. insect-active fungi
98. insect-active nematodes
99. insect-active viruses
100. Iprobenfos
101. Isofenphos
102. Isoprocarb
103. Isoxathion
104. Ivermectin
105. λ-Cyhalothrin
106. Lufenuron
107. Malathion
108. Mecarbam
109. Mesulfenfos
110. Metaldehyd
111. Methamidophos
112. Methiocarb
113. Methomyl
114. Methoprene
115. Metolcarb
116. Mevinphos
117. Milbemectin
118. Moxidectin
119. Naled
120. NC 184
121. NI-25, Acetamiprid
122. Nitenpyram
123. Omethoat
124. Oxamyl
125. Oxydemeton M
126. Oxydeprofos
127. Parathion
128. Parathion-methyl
129. Permethrin
130. Phenthoat

131. Phorat
132. Phosalone
133. Phosmet
134. Phoxim
135. Pirimicarb
136. Pirimiphos A
137. Pirimiphos M
138. Promecarb
139. Propaphos
140. Propoxur
141. Prothlofos
142. Prothoat
143. Pyrachlofos
144. Pyradaphenthion
145. Pyresmethrin
146. Pyrethrum
147. Pyridaben
148. Pyrimidifen
149. Pyriproxyfen

150. RH 5992
151. RH-2485
152. Salithion
153. Sebufos
154. Silafluofen
155. Spinosad
156. Sulfotep
157. Sulprofos
158. Tebufenozide
159. Tebufenpyrad
160. Tebupirimfos
161. Teflubenzuron
162. Tefluthrin
163. Temephos
164. Terbam
165. Terbufos
166. Tetrachlorvinphos
167. Thiafenox
168. Thiodicarb

169. Thiofanox
170. Thionazin
171. Thuringiensin
172. Tralomethrin
173. Triarathene
174. Triazamate
175. Triazophos
176. Triazuron
177. Trichlorfon
178. Triflumuron
179. Trimethacarb
180. Vamidothion
181. XMC (3,5,-Xylyl-methylcarbamate)
182. Xylylcarb
183. YI 5301/5302
184. ζ-Cypermethrin
185. Zetamethrin

Non-limitative examples of suitable anthelminthics are named in the following, a few representatives have insecticidal and acaricidal activity in addition to the anthelminthic activity, and are partly already in the above list.

(A1) Praziquantel = 2-cyclohexylcarbonyl-4-oxo-1,2,3,6,7,11b-hexahydro-4H-pyrazino[2,1-α]isoquinoline

(A2) Closantel = 3,5-diiodo-N-[5-chloro-2-methyl-4-(a-cyano-4-chlorobenzyl)phenyl]-salicylamide

(A3) Triclabendazole = 5-chloro-6-(2,3-dichlorophenoxy)-2-methylthio-1H-benzimidazole

(A4) Levamisol = *L*-(-)-2,3,5,6-tetrahydro-6-phenylimidazo[2,1b]thiazole

(A5) Mebendazole = (5-benzoyl-1H-benzimidazol-2-yl)carbaminic acid methylester

(A6) Omphalotin = a macrocyclic fermentation product of the fungus *Omphalotus olearius* described in WO 97/20857

(A7) Abamectin = avermectin B1

(A8) Ivermectin = 22,23-dihydroavermectin B1

(A9) Moxidectin = 5-O-demethyl-28-deoxy-25-(1,3-dimethyl-1-butenyl)-6,28- epoxy-23-(methoxyimino)-milbemycin B

(A10) Doramectin = 25-cyclohexyl-5-O-demethyl-25-de(1-methylpropyl)-avermectin A1a

(A11) Milbemectin = mixture of milbemycin A3 and milbemycin A4

(A12) Milbemycinoxim = 5-oxime of milbemectin

Non-limitative examples of suitable repellents and detachers are:

(R1) DEET (N, N-diethyl-m-toluamide)

(R2) KBR 3023 N-butyl-2-oxycarbonyl-(2-hydroxy)-piperidine

(R3) Cymiazole = N,-2,3-dihydro-3-methyl-1,3-thiazol-2-ylidene-2,4-xylidene

The said partners in the mixture are best known to specialists in this field. Most are described in various editions of the Pesticide Manual, The British Crop Protection Council, London, and others in the various editions of The Merck Index, Merck & Co., Inc., Rahway, New Jersey, USA or in patent literature. Therefore, the following listing is restricted to a few places where they may be found by way of example.

(I) 2-Methyl-2-(methylthio)propionaldehyde-*O*-methylcarbamoyloxime (Aldicarb), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 26;

(II) *S*-(3,4-dihydro-4-oxobenzo[*d*]-[1,2,3]-triazin-3-ylmethyl)O,O-dimethyl-phosphoro-dithioate (Azinphos-methyl), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 67;

(III) Ethyl-N-[2,3-dihydro-2,2-dimethylbenzofuran-7-yloxycarbonyl-(methyl)aminothio]-N-isopropyl-β-alaninate (Benfuracarb), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 96;

(IV) 2-Methylbiphenyl-3-ylmethyl-(*Z*)-(1*RS*)-*cis*-3-(2-chloro-3,3,3-trifluoroprop-1-enyl)-2,2-dimethylcyclopropanecarboxylate (Bifenthrin), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 118;

(V) 2-tert-butylimino-3-isopropyl-5-phenyl-1,3,5-thiadiazian-4-one (Buprofezin), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 157;

(VI) 2,3-Dihydro-2,2-dimethylbenzofuran-7-yl-methylcarbamate (Carbofuran), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 186;

(VII) 2,3-Dihydro-2,2-dimethylbenzofuran-7-yl-(dibutylaminothio)methylcarbamate (Carbosulfan), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 188;

(VIII) *S,S'*-(2-dimethylaminotrimethylene)-bis(thiocarbamate) (Cartap), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 193;

(IX) 1-[3,5-Dichloro-4-(3-chloro-5-trifluoromethyl-2-pyridyloxy)phenyl]-3-(2,6-difluorobenzoyl)-urea (Chlorfluazuron), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 213;

(X) *O,O*-diethyl-*O*-3,5,6-trichloro-2-pyridyl-phosphorothioate (Chlorpyrifos), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 235;

(XI) (*RS*)-α-cyano-4-fluoro-3-phenoxybenzyl-(1*RS*,3*RS*;1*RS*,3*RS*)-3-(2,2-dichlorovinyl)-2,2-di-methylcyclopropanecarboxylate (Cyfluthrin), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 293;

(XII) Mixture of (*S*)-α-cyano-3-phenoxybenzyl-(*Z*)-(1*R*,3*R*)-3-(2-chloro-3,3,3-trifluoropropenyl)-2,2-dimethylcyclopropanecarboxylate and (*R*)-α-cyano-3-phenoxybenzyl-(*Z*)-(1*R*,3*R*)-3-(2-chloro-3,3,3-trifluoropropenyl)-2,2-dimethylcyclopropanecarboxylate (Lambda-Cyhalothrin), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 300;

(XIII) Racemate consisting of (*S*)-α-cyano-3-phenoxybenzyl-(*Z*)-(1*R*,3*R*)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate and (*R*)-α-cyano-3-phenoxybenzyl-(1*S*,3*S*)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate (Alpha-cypermethrin), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 308;

(XIV) a mixture of the stereoisomers of (*S*)-α-cyano-3-phenoxybenzyl (1*RS*,3*RS*,-1*RS*,3*RS*)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate (zeta-Cypermethrin), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 314;

(XV) (*S*)-α-cyano-3-phenoxybenzyl-(1*R*,3*R*)-3-(2,2-dibromovinyl)-2,2-dimethylcyclopropanecarboxylate (Deltamethrin), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 344;

(XVI) (4-chlorophenyl)-3-(2,6-difluorobenzoyl)urea (Diflubenzuron), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 395;

(XVII) (1,4,5,6,7,7-Hexachloro-8,9,10-trinorborn-5-en-2,3-ylenebismethylene)-sulphite (Endosulfan), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 459;

(XVIII) α-ethylthio-o-tolyl-methylcarbamate (Ethiofencarb), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 479;

(XIX) *O,O*-dimethyl-*O*-4-nitro-*m*-tolyl-phosphorothioate (Fenitrothion), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 514;

(XX) 2-*sec*-butylphenyl-methylcarbamate (Fenobucarb), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 516;

(XXI) (*RS*)-α-cyano-3-phenoxybenzyl-(*RS*)-2-(4-chlorophenyl)-3-methylbutyrate (Fenvalerate), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 539;

(XXII) *S*-[formyl(methyl)carbamoylmethyl]-*O,O*-dimethyl-phosphorodithioate (Formothion), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 625;

(XXIII) 4-Methylthio-3,5-xylyl-methylcarbamate (Methiocarb), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 813;

(XXIV) 7-Chlorobicyclo[3.2.0]hepta-2,6-dien-6-yl-dimethylphosphate (Heptenophos), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 670;

(XXV) 1-(6-chloro-3-pyridylmethyl)-*N*-nitroimidazolidin-2-ylidenamine (Imidacloprid), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 706;

(XXVI) 2-isopropylphenyl-methylcarbamate (Isoprocarb), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 729;

(XXVII) *O,S*-dimethyl-phosphoramidothioate (Methamidophos), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 808;

(XXVIII) *S*-Methyl-*N*-(methylcarbamoyloxy)thioacetimidate (Methomyl), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 815;

(XXIX) Methyl-3-(dimethoxyphosphinoyloxy)but-2-enoate (Mevinphos), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 844;

(XXX) *O,O*-diethyl-*O*-4-nitrophenyl-phosphorothioate (Parathion), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 926;

(XXXI) *O,O*-dimethyl-*O*-4-nitrophenyl-phosphorothioate (Parathion-methyl), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 928;

(XXXII) *S*-6-chloro-2,3-dihydro-2-oxo-1,3-benzoxazol-3-ylmethyl-*O,O*-diethyl-phosphorodithioate (Phosalone), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 963;

(XXXIII) 2-Dimethylamino-5,6-dimethylpyrimidin-4-yl-dimethylcarbamate (Pirimicarb), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 985;

(XXXIV) 2-isopropoxyphenyl-methylcarbamate (Propoxur), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 1036;

(XXXV) 1-(3,5-dichloro-2,4-difluorophenyl)-3-(2,6-difluorobenzoyl)urea (Teflubenzuron), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 1158;

(XXXVI) *S*-tert-butylthiomethyl-*O,O*-dimethyl-phosphorodithioate (Terbufos), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 1165;

(XXXVII) ethyl-(3-*tert*.-butyl-1-dimethylcarbamoyl-1*H*-1,2,4-triazol-5-yl-thio)-acetate, (Triazamate), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 1224;

(XXXVIII) Abamectin, from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 3;

(XXXIX) 2-*sec*-butylphenyl-methylcarbamate (Fenobucarb), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 516;

(XL) *N*-*tert*.-butyl-*N*-(4-ethylbenzoyl)-3,5-dimethylbenzohydrazide (Tebufenozide), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 1147;

(XLI) (±)-5-amino-1-(2,6-dichloro-α,α,α-trifluoro-p-tolyl)-4-trifluoromethyl-sulphinylpyrazol-3-carbonitrile (Fipronil), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 545;

(XLII) (*RS*)-α-cyano-4-fluoro-3-phenoxybenzyl(1*RS*,3*RS*;1*RS*,3*RS*)-3-(2,2-dichloro-vinyl)-2,2-dimethylcyclopropanecarboxylate (beta-Cyfluthrin), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 295;

(XLIII) (4-ethoxyphenyl)-[3-(4-fluoro-3-phenoxyphenyl)propyl](dimethyl)silane (Silafluofen), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 1105;

(XLIV) *tert*.-butyl (*E*)-α-(1,3-dimethyl-5-phenoxypyrazol-4-yl-methylenamino-oxy)-p-toluate (Fenpyroximate), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 530;

(XLV) 2-*tert.*-butyl-5-(4-*tert.*-butylbenzylthio)-4-chloropyridazin-3(2*H*)-one (Pyridaben), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 1161;

(XLVI) 4-[[4-(1,1-dimethylphenyl)phenyl]ethoxy]-quinazoline (Fenazaquin), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 507;

(XLVII) 4-phenoxyphenyl-(*RS*)-2-(pyridyloxy)propyl-ether (Pyriproxyfen), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 1073;

(XLVIII) 5-chloro-*N*-[2-[4-(2-ethoxyethyl)-2,3-dimethylphenoxy]ethyl]-6-ethylpyrimidine-4-amine (Pyrimidifen), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 1070;

(XLIX) (*E*)-*N*-(6-chloro-3-pyridylmethyl)-*N*-ethyl-*N*'-methyl-2-nitrovinylidenediamine (Nitenpyram), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 880;

(L) (*E*)-N^1-[(6-chloro-3-pyridyl)methyl]-N^2-cyano-N^1-methylacetamidine (NI-25, Acetamiprid), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 9;

(LI) Avermectin B_1 , from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 3;

(LII) an insect-active extract from a plant, especially (2*R*,6a*S*,12a*S*)-1,2,6,6a,12,12a-hexhydro-2-isopropenyl-8,9-dimethoxy-chromeno[3,4-*b*]furo[2,3-*h*]chromen-6-one (Rotenone), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 1097; and an extract from *Azadirachta indica*, especially azadirachtin, from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 59; and

(LIII) a preparation which contains insect-active nematodes, preferably *Heterorhabditis bacteriophora* and *Heterorhabditis megidis*, from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 671; *Steinernema feltiae*, from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 1115 and *Steinernema scapterisci*, from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 1116;

(LIV) a preparation obtainable from *Bacillus subtilis*, from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 72; or from a strain of *Bacillus thuringiensis* with the exception of compounds isolated from GC91 or from NCTC11821;

The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 73;

(LV) a preparation which contains insect-active fungi, preferably *Verticillium lecanii*, from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 1266; *Beauveria brogniartii*, from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 85 and *Beauveria bassiana*, from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 83;

(LVI) a preparation which contains insect-active viruses, preferably *Neodipridon Sertifer NPV*, from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 1342; *Mamestra brassicae* NPV, from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 759 and *Cydia pomonella granulosis* virus, from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 291;

(CLXXXI) 7-chloro-2,3,4*a*,5-tetrahydro-2-[methoxycarbonyl(4-trifluoromethoxyphenyl)-carbamoyl]indol[1,2*e*]oxazoline-4*a*-carboxylate (DPX-MP062, Indoxycarb), from The Pestlcide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 453;

(CLXXXII) *N*-tert.-butyl-*N'*-(3,5-dimethylbenzoyl)-3-methoxy-2-methylbenzohydrazide (RH-2485, Methoxyfenozide), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 1094; and

(CLXXXIII) (*N'*-[4-methoxy-biphenyl-3-yl]-hydrazinecarboxylic acid isopropylester (D 2341), from Brighton Crop Protection Conference, 1996, 487- 493;

(R2) Book of Abstracts, 212th ACS National Meeting Orlando, FL, August 25-29 (1996), AGRO-020. Publisher: American Chemical Society, Washington, D.C. CONEN: 63BFAF.

As a consequence of the above details, a further essential aspect of the present invention relates to combination preparations for the control of parasites on warm-blooded animals, characterised in that they contain, in addition to a compound of formula I, at least one further active ingredient having the same or different sphere of activity and at least one physiologically acceptable carrier. The present invention is not restricted to two-fold combinations.

As a rule, the anthelminthic compositions according to the invention contain 0.1 to 99 % by weight, especially 0.1 to 95 % by weight of active ingredient of formula I, Ia or mixtures thereof, 99.9 to 1 % by weight, especially 99.8 to 5 % by weight of a solid or liquid admixture, including 0 to 25 % by weight, especially 0.1 to 25 % by weight of a surfactant.

Application of the compositions according to the invention to the animals to be treated may take place topically, perorally, parenterally or subcutaneously, the composition being present in the form of solutions, emulsions, suspensions, (drenches), powders, tablets, boli, capsules and pour-on formulations.

The pour-on or spot-on method consists in applying the compound of formula I to a specific location of the skin or coat, advantageously to the neck or backbone of the animal. This takes place e.g. by applying a swab or spray of the pour-on or spot-on formulation to a relatively small area of the coat, from where the active substance is dispersed almost automatically over wide areas of the fur owing to the spreading nature of the components in the formulation and assisted by the animal's movements.

Pour-on or spot-on formulations suitably contain carriers, which promote rapid dispersement over the skin surface or in the coat of the host animal, and are generally regarded as spreading oils. Suitable carriers are e.g. oily solutions; alcoholic and isopropanolic solutions such as solutions of 2-octyldodecanol or oleyl alcohol; solutions in esters of monocarboxylic acids, such as isopropyl myristate, isopropyl palmitate, lauric acid oxalate, oleic acid oleyl ester, oleic acid decyl ester, hexyl laurate, oleyl oleate, decyl oleate, capric acid esters of saturated fat alcohols of chain length C_{12}-C_{15}; solutions of esters of dicarboxylic acids, such as dibutyl phthalate, diisopropyl isophthalate, adipic acid diisopropyl ester, di-n-butyl adipate or also solutions of esters of aliphatic acids, e.g. glycols. It may be advantageous for a dispersing agent to be additionally present, such as one known from the pharmaceutical or cosmetic industry. Examples are 2-pyrrolidone, 2-(N-alkyl)pyrrolidone, acetone, polyethylene glycol and the ethers and esters thereof, propylene glycol or synthetic triglycerides.

The oily solutions include e.g. vegetable oils such as olive oil, groundnut oil, sesame oil, pine oil, linseed oil or castor oil. The vegetable oils may also be present in epoxidised form. Paraffins and silicone oils may also be used.

A pour-on or spot-on formulation generally contains 1 to 20 % by weight of a compound of formula I, 0.1 to 50 % by weight of dispersing agent and 45 to 98.9 % by weight of solvent.

The pour-on or spot-on method is especially advantageous for use on herd animals such as cattle, horses, sheep or pigs, in which it is difficult or time-consuming to treat all the animals orally or by injection. Because of its simplicity, this method can of course also be used for all other animals, including individual domestic animals or pets, and is greatly favoured by the keepers of the animals, as it can often be carried out without the specialist presence of the veterinarian.

Whereas it is preferred to formulate commercial products as concentrates, the end user will normally use dilute formulations.

Such compositions may also contain further additives, such as stabilisers, anti-foaming agents, viscosity regulators, binding agents or tackifiers, as well as other active ingredients, in order to achieve special effects.

Anthelminthic compositions of this type, which are used by the end user, similarly form a constituent of the present invention.

In each of the processes according to the invention for pest control or in each of the pest control compositions according to the invention, the active ingredients of formula I can be used in all of their steric configurations or in mixtures thereof.

The invention also includes a method of prophylactically protecting warm-blooded animals, especially productive livestock, domestic animals and pets, against parasitic helminths, which is characterised in that the active ingredients of the formula or the active ingredient formulations prepared therefrom are administered to the animals as an additive to the feed, or to the drinks or also in solid or liquid form, orally or by injection or parenterally. The invention also includes the compounds of formula I according to the invention for usage in one of the said processes.

The following examples serve merely to illustrate the invention without restricting it, the term active ingredient representing a substance listed in tables...

In particular, preferred formulations are made up as follows:

(% = percent by weight)

Formulation examples

1. Granulate	a)	b)
active ingredient	5 %	10 %
kaolin	94 %	-
highly dispersed silicic acid	1 %	-
attapulgite	-	90 %

The active ingredient is dissolved in methylene chloride, sprayed onto the carrier and the solvent subsequently concentrated by evaporation under vacuum. Granulates of this kind can be mixed with the animal feed.

2. Granulate

active ingredient	3 %
polyethylene glycol (mw 200)	3 %
kaolin	94 %

(mw = molecular weight)

The finely ground active ingredient is evenly applied in a mixer to the kaolin which has been moistened with polyethylene glycol. In this way, dust-free coated granules are obtained.

3. Tablets or boli

I	active ingredient	33.00 %
	methylcellulose	0.80 %
	silicic acid, highly dispersed	0.80 %
	corn starch	8.40 %
II	lactose, cryst.	22.50 %
	corn starch	17.00 %
	microcryst. cellulose	16.50 %
	magnesium stearate	1.00 %

I Methyl cellulose is stirred into water. After the material has swollen, silicic acid is stirred in and the mixture homogeneously suspended. The active ingredient and the corn starch are mixed. The aqueous suspension is worked into this mixture and kneaded to a dough. The resulting mass is granulated through a 12 M sieve and dried.

II All 4 excipients are mixed thoroughly.

III The preliminary mixes obtained according to I and II are mixed and pressed into tablets or boli.

4. Injectables

A. Oily vehicle (slow release)

1.	active ingredient	0.1-1.0 g
	groundnut oil	ad 100 ml
2.	active ingredient	0.1-1.0 g
	sesame oil	ad 100 ml

Preparation: The active ingredient is dissolved in part of the oil whilst stirring and, if required, with gentle heating, then after cooling made up to the desired volume and sterile-filtered through a suitable membrane filter with a pore size of 0.22 µm.

B. Water-miscible solvent (average rate of release)

active ingredient	0.1-1.0 g
4-hydroxymethyl-1,3-dioxolane (glycerol formal)	40 g
1,2-propanediol	ad 100 ml
active ingredient	0.1-1.0 g
glycerol dimethyl ketal	40 g
1,2-propanediol	ad 100 ml

Preparation: The active ingredient is dissolved in part of the solvent whilst stirring, made up to the desired volume and sterile-filtered through a suitable membrane filter with a pore size of 0.22 µm.

C. Aqueous solubilisate (rapid release)

1.	active ingredient	0.1-1.0 g
	polyethoxylated castor oil (40 ethylene oxide units)	10 g
	1,2-propanediol	20 g
	benzyl alcohol	1 g
	aqua ad inject.	ad 100 ml
2.	active ingredient	0.1-1.0 g
	polyethoxylated sorbitan monooleate (20 ethylene oxide units)	8 g
	4-hydroxymethyl-1,3-dioxolane (glycerol formal)	20 g
	benzyl alcohol	1 g
	aqua ad inject.	ad 100 ml

Preparation: The active ingredient is dissolved in the solvents and the surfactant, and made up with water to the desired volume. Sterile filtration through an appropriate membrane filter of 0.22 µm pore size.

5. Pour on

A.

active ingredient	5 g
isopropyl myristate	10 g
isopropanol	ad 100 ml

B

active ingredient	2 g
hexyl laurate	5 g

medium-chained triglyceride	15 g
ethanol	ad 100 ml

C.

active ingredient	2 g
oleyl oleate	5 g
N-methyl-pyrrolidone	40 g
isopropanol	ad 100 ml

The aqueous systems may also preferably be used for oral and/or intraruminal application.

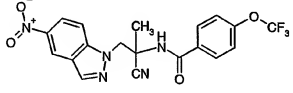
The compositions may also contain further additives, such as stabilisers, e.g. where appropriate epoxidised vegetable oils (epoxidised coconut oil, rapeseed oil, or soybean oil); antifoams, e.g. silicone oil, preservatives, viscosity regulators, binders, tackifiers, as well as fertilisers or other active ingredients to achieve special effects.

Further biologically active substances or additives, which are neutral towards the compounds of formula I and do not have a harmful effect on the host animal to be treated, as well as mineral salts or vitamins, may also be added to the described compositions.

The following examples serve to illustrate the invention. They do not limit the invention. The letter 'h' stands for hour.

Preparation examples

Example 1: N-[1-cyano-1-methyl-2-(5-nitroindazol-1-yl)-ethyl]-4-trifluoromethoxybenzamide



a) 5 g of 5-nitroindazole, 3.97 g of chloroacetone, 1.84 g of potassium carbonate and 0.46 g of potassium iodide are dissolved in 30 ml of acetone and boiled under reflux over night. After cooling, the precipitate is filtered, concentrated by evaporation and the residue purified by flash chromatography. 1-(5-nitroindazol-1-yl)-propan-2-one is thus obtained.

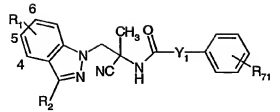
b) 3.5 g of 1-(5-nitroindazol-1-yl)-propan-2-one, 0.94 g of sodium cyanide and 1.28 g of ammonium chloride are suspended in 50 ml of aqueous 25% ammonia solution and stirred at room temperature for 90 h. The crude product is subsequently extracted from the reaction mixture with ethyl acetate, the organic phase is washed with saturated sodium chloride

solution, dried with magnesium sulphate and concentrated by evaporation. 2-amino-2-methyl-3-(5-nitroindazol-1-yl)-propionitrile is thus obtained.

c) A mixture of 369 mg of ethyl diisopropylamine, 30 mg of 4-dimethylaminopyridine and 549 mg of 4-(trifluoromethoxy)-benzoyl chloride is added dropwise to a solution of 500 mg of 2-amino-2-methyl-3-(5-nitroindazol-1-yl)-propionitrile in 8 ml of methylene chloride, and subsequently stirred for 18 h at room temperature. Subsequently, the reaction mixture is diluted with ethyl acetate, then washed with a saturated sodium bicarbonate solution, water, aqueous 2N hydrochloric acid and finally with saturated sodium chloride solution. After drying the organic phase with magnesium sulphate and concentrating by evaporation, the residue is recrystallised in diethylether. In this way, the title compound is obtained as a slightly yellowish solid with a melting point of 203-5°C.

The substances named in the following table may also be prepared analogously to the above-described method. The values of the melting points are indicated in °C. Bd. signifies a direct bond.

Table 1



No.	Y_1	R_1	R_2	R_{71}	phys. data
1.1	Bd.	H	H	H	
1.2	Bd.	H	H	2-Cl	
1.3	Bd.	H	H	3-Cl	
1.4	Bd.	H	H	4-Cl	
1.5	Bd.	H	H	2-F	
1.6	Bd.	H	H	3-F	
1.7	Bd.	H	H	4-F	
1.8	Bd.	H	H	2-CH_3	
1.9	Bd.	H	H	3-CH_3	
1.10	Bd.	H	H	4-CH_3	
1.11	Bd.	H	H	2-OCH_3	
1.12	Bd.	H	H	3-OCH_3	
1.13	Bd.	H	H	4-OCH_3	
1.14	Bd.	H	H	2-CF_3	
1.15	Bd.	H	H	3-CF_3	
1.16	Bd.	H	H	4-CF_3	
1.17	Bd.	H	H	2-OCF_3	
1.18	Bd.	H	H	3-OCF_3	
1.19	Bd.	H	H	4-OCF_3	
1.20	Bd.	H	H	2-OCF_2CF_2	
1.21	Bd.	H	H	3-OCF_2CF_2	
1.22	Bd.	H	H	4-OCF_2CF_2	
1.23	Bd.	H	H	2-OC_2F_5	
1.24	Bd.	H	H	3-OC_2F_5	
1.25	Bd.	H	H	4-OC_2F_5	
1.26	Bd.	H	H	2-OC_6H_5	
1.27	Bd.	H	H	3-OC_6H_5	
1.28	Bd.	H	H	4-OC_6H_5	
1.29	Bd.	H	H	2-$C(O)C_6H_5$	
1.30	Bd.	H	H	3-$C(O)C_6H_5$	
1.31	Bd.	H	H	4-$C(O)C_6H_5$	
1.32	Bd.	H	H	4-CN	
1.33	Bd.	H	CH_3	H	
1.34	Bd.	H	CH_3	2-Cl	
1.35	Bd.	H	CH_3	3-Cl	
1.36	Bd.	H	CH_3	4-Cl	
1.37	Bd.	H	CH_3	2-F	
1.38	Bd.	H	CH_3	3-F	

1.39	Bd.	H	CH_3	4-F
1.40	Bd.	H	CH_3	2-CH_3
1.41	Bd.	H	CH_3	3-CH_3
1.42	Bd.	H	CH_3	4-CH_3
1.43	Bd.	H	CH_3	2-OCH_3
1.44	Bd.	H	CH_3	3-OCH_3
1.45	Bd.	H	CH_3	4-OCH_3
1.46	Bd.	H	CH_3	2-CF_3
1.47	Bd.	H	CH_3	3-CF_3
1.48	Bd.	H	CH_3	4-CF_3
1.49	Bd.	H	CH_3	2-OCF_3
1.50	Bd.	H	CH_3	3-OCF_3
1.51	Bd.	H	CH_3	4-OCF_3
1.52	Bd.	H	CH_3	2-OCF_2CF_2
1.53	Bd.	H	CH_3	3-OCF_2CF_2
1.54	Bd.	H	CH_3	4-OCF_2CF_2
1.55	Bd.	H	CH_3	2-OC_2F_5
1.56	Bd.	H	CH_3	3-OC_2F_5
1.57	Bd.	H	CH_3	4-OC_2F_5
1.58	Bd.	H	CH_3	2-OC_6H_5
1.59	Bd.	H	CH_3	3-OC_6H_5
1.60	Bd.	H	CH_3	4-OC_6H_5
1.61	Bd.	H	CH_3	2-$C(O)C_6H_5$
1.62	Bd.	H	CH_3	3-$C(O)C_6H_5$
1.63	Bd.	H	CH_3	4-$C(O)C_6H_5$
1.64	Bd.	H	CH_3	4-CN
1.65	Bd.	H	CF_3	H
1.66	Bd.	H	CF_3	2-Cl
1.67	Bd.	H	CF_3	3-Cl
1.68	Bd.	H	CF_3	4-Cl
1.69	Bd.	H	CF_3	2-F
1.70	Bd.	H	CF_3	3-F
1.71	Bd.	H	CF_3	4-F
1.72	Bd.	H	CF_3	2-CH_3
1.73	Bd.	H	CF_3	3-CH_3
1.74	Bd.	H	CF_3	4-CH_3
1.75	Bd.	H	CF_3	2-OCH_3
1.76	Bd.	H	CF_3	3-OCH_3
1.77	Bd.	H	CF_3	4-OCH_3
1.78	Bd.	H	CF_3	2-CF_3
1.79	Bd.	H	CF_3	3-CF_3
1.80	Bd.	H	CF_3	4-CF_3
1.81	Bd.	H	CF_3	2-OCF_3
1.82	Bd.	H	CF_3	3-OCF_3
1.83	Bd.	H	CF_3	4-OCF_3
1.84	Bd.	H	CF_3	2-OCF_2CF_2
1.85	Bd.	H	CF_3	3-OCF_2CF_2
1.86	Bd.	H	CF_3	4-OCF_2CF_2
1.87	Bd.	H	CF_3	2-OC_2F_5
1.88	Bd.	H	CF_3	3-OC_2F_5

1.89	Bd.	H	CF_3	4-OC_2F_5
1.90	Bd.	H	CF_3	2-OC_6H_5
1.91	Bd.	H	CF_3	3-OC_6H_5
1.92	Bd.	H	CF_3	4-OC_6H_5
1.93	Bd.	H	CF_3	2-C(O)C_6H_5
1.94	Bd.	H	CF_3	3-C(O)C_6H_5
1.95	Bd.	H	CF_3	4-C(O)C_6H_5
1.96	Bd.	H	CF_3	4-CN
1.97	Bd.	4-OCH_3	H	H
1.98	Bd.	4-OCH_3	H	2-Cl
1.99	Bd.	4-OCH_3	H	3-Cl
1.100	Bd.	4-OCH_3	H	4-Cl
1.101	Bd.	4-OCH_3	H	2-F
1.102	Bd.	4-OCH_3	H	3-F
1.103	Bd.	4-OCH_3	H	4-F
1.104	Bd.	4-OCH_3	H	2-CH_3
1.105	Bd.	4-OCH_3	H	3-CH_3
1.106	Bd.	4-OCH_3	H	4-CH_3
1.107	Bd.	4-OCH_3	H	2-OCH_3
1.108	Bd.	4-OCH_3	H	3-OCH_3
1.109	Bd.	4-OCH_3	H	4-OCH_3
1.110	Bd.	4-OCH_3	H	2-CF_3
1.111	Bd.	4-OCH_3	H	3-CF_3
1.112	Bd.	4-OCH_3	H	4-CF_3
1.113	Bd.	4-OCH_3	H	2-OCF_3
1.114	Bd.	4-OCH_3	H	3-OCF_3
1.115	Bd.	4-OCH_3	H	4-OCF_3
1.116	Bd.	4-OCH_3	H	2-OCF_2CF_2
1.117	Bd.	4-OCH_3	H	3-OCF_2CF_2
1.118	Bd.	4-OCH_3	H	4-OCF_2CF_2
1.119	Bd.	4-OCH_3	H	2-OC_2F_5
1.120	Bd.	4-OCH_3	H	3-OC_2F_5
1.121	Bd.	4-OCH_3	H	4-OC_2F_5
1.122	Bd.	4-OCH_3	H	2-OC_6H_5
1.123	Bd.	4-OCH_3	H	3-OC_6H_5
1.124	Bd.	4-OCH_3	H	4-OC_6H_5
1.125	Bd.	4-OCH_3	H	2-C(O)C_6H_5
1.126	Bd.	4-OCH_3	H	3-C(O)C_6H_5
1.127	Bd.	4-OCH_3	H	4-C(O)C_6H_5
1.128	Bd.	4-OCH_3	H	4-CN
1.129	Bd.	4-OCH_3	CH_3	H
1.130	Bd.	4-OCH_3	CH_3	2-Cl
1.131	Bd.	4-OCH_3	CH_3	3-Cl
1.132	Bd.	4-OCH_3	CH_3	4-Cl
1.133	Bd.	4-OCH_3	CH_3	2-F
1.134	Bd.	4-OCH_3	CH_3	3-F
1.135	Bd.	4-OCH_3	CH_3	4-F
1.136	Bd.	4-OCH_3	CH_3	2-CH_3
1.137	Bd.	4-OCH_3	CH_3	3-CH_3
1.138	Bd.	4-OCH_3	CH_3	4-CH_3

1.139	Bd.	4-OCH_3	CH_3	2-OCH_3
1.140	Bd.	4-OCH_3	CH_3	3-OCH_3
1.141	Bd.	4-OCH_3	CH_3	4-OCH_3
1.142	Bd.	4-OCH_3	CH_3	2-CF_3
1.143	Bd.	4-OCH_3	CH_3	3-CF_3
1.144	Bd.	4-OCH_3	CH_3	4-CF_3
1.145	Bd.	4-OCH_3	CH_3	2-OCF_3
1.146	Bd.	4-OCH_3	CH_3	3-OCF_3
1.147	Bd.	4-OCH_3	CH_3	4-OCF_3
1.148	Bd.	4-OCH_3	CH_3	2-OCF_2CF_2
1.149	Bd.	4-OCH_3	CH_3	3-OCF_2CF_2
1.150	Bd.	4-OCH_3	CH_3	4-OCF_2CF_2
1.151	Bd.	4-OCH_3	CH_3	2-OC_2F_5
1.152	Bd.	4-OCH_3	CH_3	3-OC_2F_5
1.153	Bd.	4-OCH_3	CH_3	4-OC_2F_5
1.154	Bd.	4-OCH_3	CH_3	2-OC_6H_5
1.155	Bd.	4-OCH_3	CH_3	3-OC_6H_5
1.156	Bd.	4-OCH_3	CH_3	4-OC_6H_5
1.157	Bd.	4-OCH_3	CH_3	2-$C(O)C_6H_5$
1.158	Bd.	4-OCH_3	CH_3	3-$C(O)C_6H_5$
1.159	Bd.	4-OCH_3	CH_3	4-$C(O)C_6H_5$
1.160	Bd.	4-OCH_3	CH_3	4-CN
1.161	Bd.	4-OCH_3	CF_3	H
1.162	Bd.	4-OCH_3	CF_3	2-Cl
1.163	Bd.	4-OCH_3	CF_3	3-Cl
1.164	Bd.	4-OCH_3	CF_3	4-Cl
1.165	Bd.	4-OCH_3	CF_3	2-F
1.166	Bd.	4-OCH_3	CF_3	3-F
1.167	Bd.	4-OCH_3	CF_3	4-F
1.168	Bd.	4-OCH_3	CF_3	2-CH_3
1.169	Bd.	4-OCH_3	CF_3	3-CH_3
1.170	Bd.	4-OCH_3	CF_3	4-CH_3
1.171	Bd.	4-OCH_3	CF_3	2-OCH_3
1.172	Bd.	4-OCH_3	CF_3	3-OCH_3
1.173	Bd.	4-OCH_3	CF_3	4-OCH_3
1.174	Bd.	4-OCH_3	CF_3	2-CF_3
1.175	Bd.	4-OCH_3	CF_3	3-CF_3
1.176	Bd.	4-OCH_3	CF_3	4-CF_3
1.177	Bd.	4-OCH_3	CF_3	2-OCF_3
1.178	Bd.	4-OCH_3	CF_3	3-OCF_3
1.179	Bd.	4-OCH_3	CF_3	4-OCF_3
1.180	Bd.	4-OCH_3	CF_3	2-OCF_2CF_2
1.181	Bd.	4-OCH_3	CF_3	3-OCF_2CF_2
1.182	Bd.	4-OCH_3	CF_3	4-OCF_2CF_2
1.183	Bd.	4-OCH_3	CF_3	2-OC_2F_5
1.184	Bd.	4-OCH_3	CF_3	3-OC_2F_5
1.185	Bd.	4-OCH_3	CF_3	4-OC_2F_5
1.186	Bd.	4-OCH_3	CF_3	2-OC_6H_5
1.187	Bd.	4-OCH_3	CF_3	3-OC_6H_5
1.188	Bd.	4-OCH_3	CF_3	4-OC_6H_5

1.189	Bd.	4-OCH_3	CF_3	2-$C(O)C_6H_5$	
1.190	Bd.	4-OCH_3	CF_3	3-$C(O)C_6H_5$	
1.191	Bd.	4-OCH_3	CF_3	4-$C(O)C_6H_5$	
1.192	Bd.	4-OCH_3	CF_3	4-CN	
1.193	Bd.	5-Cl	H	H	
1.194	Bd.	5-Cl	H	2-Cl	
1.195	Bd.	5-Cl	H	3-Cl	
1.196	Bd.	5-Cl	H	4-Cl	
1.197	Bd.	5-Cl	H	2-F	
1.198	Bd.	5-Cl	H	3-F	
1.199	Bd.	5-Cl	H	4-F	
1.200	Bd.	5-Cl	H	2-CH_3	
1.201	Bd.	5-Cl	H	3-CH_3	
1.202	Bd.	5-Cl	H	4-CH_3	
1.203	Bd.	5-Cl	H	2-OCH_3	
1.204	Bd.	5-Cl	H	3-OCH_3	
1.205	Bd.	5-Cl	H	4-OCH_3	
1.206	Bd.	5-Cl	H	2-CF_3	
1.207	Bd.	5-Cl	H	3-CF_3	
1.208	Bd.	5-Cl	H	4-CF_3	
1.209	Bd.	5-Cl	H	2-OCF_3	
1.210	Bd.	5-Cl	H	3-OCF_3	
1.211	Bd.	5-Cl	H	4-OCF_3	m.p. 175-6°
1.212	Bd.	5-Cl	H	2-OCF_2CF_2	
1.213	Bd.	5-Cl	H	3-OCF_2CF_2	
1.214	Bd.	5-Cl	H	4-OCF_2CF_2	
1.215	Bd.	5-Cl	H	2-OC_2F_5	
1.216	Bd.	5-Cl	H	3-OC_2F_5	
1.217	Bd.	5-Cl	H	4-OC_2F_5	
1.218	Bd.	5-Cl	H	2-OC_6H_5	
1.219	Bd.	5-Cl	H	3-OC_6H_5	
1.220	Bd.	5-Cl	H	4-OC_6H_5	
1.221	Bd.	5-Cl	H	2-$C(O)C_6H_5$	
1.222	Bd.	5-Cl	H	3-$C(O)C_6H_5$	
1.223	Bd.	5-Cl	H	4-$C(O)C_6H_5$	
1.224	Bd.	5-Cl	H	4-CN	
1.225	Bd.	5-Cl	CH_3	H	
1.226	Bd.	5-Cl	CH_3	2-Cl	
1.227	Bd.	5-Cl	CH_3	3-Cl	
1.228	Bd.	5-Cl	CH_3	4-Cl	
1.229	Bd.	5-Cl	CH_3	2-F	
1.230	Bd.	5-Cl	CH_3	3-F	
1.231	Bd.	5-Cl	CH_3	4-F	
1.232	Bd.	5-Cl	CH_3	2-CH_3	
1.233	Bd.	5-Cl	CH_3	3-CH_3	
1.234	Bd.	5-Cl	CH_3	4-CH_3	
1.235	Bd.	5-Cl	CH_3	2-OCH_3	
1.236	Bd.	5-Cl	CH_3	3-OCH_3	
1.237	Bd.	5-Cl	CH_3	4-OCH_3	
1.238	Bd.	5-Cl	CH_3	2-CF_3	

1.239	Bd.	5-Cl	CH_3	3-CF_3
1.240	Bd.	5-Cl	CH_3	4-CF_3
1.241	Bd.	5-Cl	CH_3	2-OCF_3
1.242	Bd.	5-Cl	CH_3	3-OCF_3
1.243	Bd.	5-Cl	CH_3	4-OCF_3
1.244	Bd.	5-Cl	CH_3	2-OCF_2CF_2
1.245	Bd.	5-Cl	CH_3	3-OCF_2CF_2
1.246	Bd.	5-Cl	CH_3	4-OCF_2CF_2
1.247	Bd.	5-Cl	CH_3	2-OC_6F_5
1.248	Bd.	5-Cl	CH_3	3-OC_6F_5
1.249	Bd.	5-Cl	CH_3	4-OC_6F_5
1.250	Bd.	5-Cl	CH_3	2-OC_6H_5
1.251	Bd.	5-Cl	CH_3	3-OC_6H_5
1.252	Bd.	5-Cl	CH_3	4-OC_6H_5
1.253	Bd.	5-Cl	CH_3	2-$C(O)C_6H_5$
1.254	Bd.	5-Cl	CH_3	3-$C(O)C_6H_5$
1.255	Bd.	5-Cl	CH_3	4-$C(O)C_6H_5$
1.256	Bd.	5-Cl	CH_3	4-CN
1.257	Bd.	5-Cl	CF_3	H
1.258	Bd.	5-Cl	CF_3	2-Cl
1.259	Bd.	5-Cl	CF_3	3-Cl
1.260	Bd.	5-Cl	CF_3	4-Cl
1.261	Bd.	5-Cl	CF_3	2-F
1.262	Bd.	5-Cl	CF_3	3-F
1.263	Bd.	5-Cl	CF_3	4-F
1.264	Bd.	5-Cl	CF_3	2-CH_3
1.265	Bd.	5-Cl	CF_3	3-CH_3
1.266	Bd.	5-Cl	CF_3	4-CH_3
1.267	Bd.	5-Cl	CF_3	2-OCH_3
1.268	Bd.	5-Cl	CF_3	3-OCH_3
1.269	Bd.	5-Cl	CF_3	4-OCH_3
1.270	Bd.	5-Cl	CF_3	2-CF_3
1.271	Bd.	5-Cl	CF_3	3-CF_3
1.272	Bd.	5-Cl	CF_3	4-CF_3
1.273	Bd.	5-Cl	CF_3	2-OCF_3
1.274	Bd.	5-Cl	CF_3	3-OCF_3
1.275	Bd.	5-Cl	CF_3	4-OCF_3
1.276	Bd.	5-Cl	CF_3	2-OCF_2CF_2
1.277	Bd.	5-Cl	CF_3	3-OCF_2CF_2
1.278	Bd.	5-Cl	CF_3	4-OCF_2CF_2
1.279	Bd.	5-Cl	CF_3	2-OC_6F_5
1.280	Bd.	5-Cl	CF_3	3-OC_6F_5
1.281	Bd.	5-Cl	CF_3	4-OC_6F_5
1.282	Bd.	5-Cl	CF_3	2-OC_6H_5
1.283	Bd.	5-Cl	CF_3	3-OC_6H_5
1.284	Bd.	5-Cl	CF_3	4-OC_6H_5
1.285	Bd.	5-Cl	CF_3	2-$C(O)C_6H_5$
1.286	Bd.	5-Cl	CF_3	3-$C(O)C_6H_5$
1.287	Bd.	5-Cl	CF_3	4-$C(O)C_6H_5$
1.288	Bd.	5-Cl	CF_3	4-CN

1.289	Bd.	5-NO_2	H	H	
1.290	Bd.	5-NO_2	H	2-Cl	
1.291	Bd.	5-NO_2	H	3-Cl	
1.292	Bd.	5-NO_2	H	4-Cl	
1.293	Bd.	5-NO_2	H	2-F	
1.294	Bd.	5-NO_2	H	3-F	
1.295	Bd.	5-NO_2	H	4-F	
1.296	Bd.	5-NO_2	H	2-CH_3	
1.297	Bd.	5-NO_2	H	3-CH_3	
1.298	Bd.	5-NO_2	H	4-CH_3	
1.299	Bd.	5-NO_2	H	2-OCH_3	
1.300	Bd.	5-NO_2	H	3-OCH_3	
1.301	Bd.	5-NO_2	H	4-OCH_3	
1.302	Bd.	5-NO_2	H	2-CF_3	
1.303	Bd.	5-NO_2	H	3-CF_3	
1.304	Bd.	5-NO_2	H	4-CF_3	m.p. 195°
1.305	Bd.	5-NO_2	H	2-OCF_3	
1.306	Bd.	5-NO_2	H	3-OCF_3	
1.307	Bd.	5-NO_2	H	4-OCF_3	m.p. 203-5°
1.308	Bd.	5-NO_2	H	2-OCF_2CF_2	
1.309	Bd.	5-NO_2	H	3-OCF_2CF_2	
1.310	Bd.	5-NO_2	H	4-OCF_2CF_2	
1.311	Bd.	5-NO_2	H	2-OC_2F_5	
1.312	Bd.	5-NO_2	H	3-OC_2F_5	
1.313	Bd.	5-NO_2	H	4-OC_2F_5	
1.314	Bd.	5-NO_2	H	2-OC_6H_5	
1.315	Bd.	5-NO_2	H	3-OC_6H_5	
1.316	Bd.	5-NO_2	H	4-OC_6H_5	m.p. 189 °
1.317	Bd.	5-NO_2	H	2-$C(O)C_6H_5$	
1.318	Bd.	5-NO_2	H	3-$C(O)C_6H_5$	
1.319	Bd.	5-NO_2	H	4-$C(O)C_6H_5$	m.p. 154°
1.320	Bd.	5-NO_2	H	4-CN	m.p. 260°
1.321	Bd.	5-NO_2	CH_3	H	
1.322	Bd.	5-NO_2	CH_3	2-Cl	
1.323	Bd.	5-NO_2	CH_3	3-Cl	
1.324	Bd.	5-NO_2	CH_3	4-Cl	
1.325	Bd.	5-NO_2	CH_3	2-F	
1.326	Bd.	5-NO_2	CH_3	3-F	
1.327	Bd.	5-NO_2	CH_3	4-F	
1.328	Bd.	5-NO_2	CH_3	2-CH_3	
1.329	Bd.	5-NO_2	CH_3	3-CH_3	
1.330	Bd.	5-NO_2	CH_3	4-CH_3	
1.331	Bd.	5-NO_2	CH_3	2-OCH_3	
1.332	Bd.	5-NO_2	CH_3	3-OCH_3	
1.333	Bd.	5-NO_2	CH_3	4-OCH_3	
1.334	Bd.	5-NO_2	CH_3	2-CF_3	
1.335	Bd.	5-NO_2	CH_3	3-CF_3	
1.336	Bd.	5-NO_2	CH_3	4-CF_3	
1.337	Bd.	5-NO_2	CH_3	2-OCF_3	
1.338	Bd.	5-NO_2	CH_3	3-OCF_3	

1.339	Bd.	5-NO_2	CH_3	4-OCF_3
1.340	Bd.	5-NO_2	CH_3	2-OCF_2CF_2
1.341	Bd.	5-NO_2	CH_3	3-OCF_2CF_2
1.342	Bd.	5-NO_2	CH_3	4-OCF_2CF_2
1.343	Bd.	5-NO_2	CH_3	2-OC_2F_5
1.344	Bd.	5-NO_2	CH_3	3-OC_2F_5
1.345	Bd.	5-NO_2	CH_3	4-OC_2F_5
1.346	Bd.	5-NO_2	CH_3	2-OC_6H_5
1.347	Bd.	5-NO_2	CH_3	3-OC_6H_5
1.348	Bd.	5-NO_2	CH_3	4-OC_6H_5
1.349	Bd.	5-NO_2	CH_3	2-C(O)C_6H_5
1.350	Bd.	5-NO_2	CH_3	3-C(O)C_6H_5
1.351	Bd.	5-NO_2	CH_3	4-C(O)C_6H_5
1.352	Bd.	5-NO_2	CH_3	4-CN
1.353	Bd.	5-NO_2	CF_3	H
1.354	Bd.	5-NO_2	CF_3	2-Cl
1.355	Bd.	5-NO_2	CF_3	3-Cl
1.356	Bd.	5-NO_2	CF_3	4-Cl
1.357	Bd.	5-NO_2	CF_3	2-F
1.358	Bd.	5-NO_2	CF_3	3-F
1.359	Bd.	5-NO_2	CF_3	4-F
1.360	Bd.	5-NO_2	CF_3	2-CH_3
1.361	Bd.	5-NO_2	CF_3	3-CH_3
1.362	Bd.	5-NO_2	CF_3	4-CH_3
1.363	Bd.	5-NO_2	CF_3	2-OCH_3
1.364	Bd.	5-NO_2	CF_3	3-OCH_3
1.365	Bd.	5-NO_2	CF_3	4-OCH_3
1.366	Bd.	5-NO_2	CF_3	2-CF_3
1.367	Bd.	5-NO_2	CF_3	3-CF_3
1.368	Bd.	5-NO_2	CF_3	4-CF_3
1.369	Bd.	5-NO_2	CF_3	2-OCF_3
1.370	Bd.	5-NO_2	CF_3	3-OCF_3
1.371	Bd.	5-NO_2	CF_3	4-OCF_3
1.372	Bd.	5-NO_2	CF_3	2-OCF_2CF_2
1.373	Bd.	5-NO_2	CF_3	3-OCF_2CF_2
1.374	Bd.	5-NO_2	CF_3	4-OCF_2CF_2
1.375	Bd.	5-NO_2	CF_3	2-OC_2F_5
1.376	Bd.	5-NO_2	CF_3	3-OC_2F_5
1.377	Bd.	5-NO_2	CF_3	4-OC_2F_5
1.378	Bd.	5-NO_2	CF_3	2-OC_6H_5
1.379	Bd.	5-NO_2	CF_3	3-OC_6H_5
1.380	Bd.	5-NO_2	CF_3	4-OC_6H_5
1.381	Bd.	5-NO_2	CF_3	2-C(O)C_6H_5
1.382	Bd.	5-NO_2	CF_3	3-C(O)C_6H_5
1.383	Bd.	5-NO_2	CF_3	4-C(O)C_6H_5
1.384	Bd.	5-NO_2	CF_3	4-CN
1.385	CH_2O	H	H	H
1.386	CH_2O	H	H	2-Cl
1.387	CH_2O	H	H	3-Cl
1.388	CH_2O	H	H	4-Cl

1.389	CH_2O	H	H	2-F
1.390	CH_2O	H	H	3-F
1.391	CH_2O	H	H	4-F
1.392	CH_2O	H	H	2-CH_3
1.393	CH_2O	H	H	3-CH_3
1.394	CH_2O	H	H	4-CH_3
1.395	CH_2O	H	H	2-OCH_3
1.396	CH_2O	H	H	3-OCH_3
1.397	CH_2O	H	H	4-OCH_3
1.398	CH_2O	H	H	2-CF_3
1.399	CH_2O	H	H	3-CF_3
1.400	CH_2O	H	H	4-CF_3
1.401	CH_2O	H	H	2-OCF_3
1.402	CH_2O	H	H	3-OCF_3
1.403	CH_2O	H	H	4-OCF_3
1.404	CH_2O	H	H	2-OCF_2CF_2
1.405	CH_2O	H	H	3-OCF_2CF_2
1.406	CH_2O	H	H	4-OCF_2CF_2
1.407	CH_2O	H	H	2-OC_2F_5
1.408	CH_2O	H	H	3-OC_2F_5
1.409	CH_2O	H	H	4-OC_2F_5
1.410	CH_2O	H	H	2-OC_6H_5
1.411	CH_2O	H	H	3-OC_6H_5
1.412	CH_2O	H	H	4-OC_6H_5
1.413	CH_2O	H	H	2-$C(O)C_6H_5$
1.414	CH_2O	H	H	3-$C(O)C_6H_5$
1.415	CH_2O	H	H	4-$C(O)C_6H_5$
1.416	CH_2O	H	H	4-CN
1.417	CH_2O	H	CH_3	H
1.418	CH_2O	H	CH_3	2-Cl
1.419	CH_2O	H	CH_3	3-Cl
1.420	CH_2O	H	CH_3	4-Cl
1.421	CH_2O	H	CH_3	2-F
1.422	CH_2O	H	CH_3	3-F
1.423	CH_2O	H	CH_3	4-F
1.424	CH_2O	H	CH_3	2-CH_3
1.425	CH_2O	H	CH_3	3-CH_3
1.426	CH_2O	H	CH_3	4-CH_3
1.427	CH_2O	H	CH_3	2-OCH_3
1.428	CH_2O	H	CH_3	3-OCH_3
1.429	CH_2O	H	CH_3	4-OCH_3
1.430	CH_2O	H	CH_3	2-CF_3
1.431	CH_2O	H	CH_3	3-CF_3
1.432	CH_2O	H	CH_3	4-CF_3
1.433	CH_2O	H	CH_3	2-OCF_3
1.434	CH_2O	H	CH_3	3-OCF_3
1.435	CH_2O	H	CH_3	4-OCF_3
1.436	CH_2O	H	CH_3	2-OCF_2CF_2
1.437	CH_2O	H	CH_3	3-OCF_2CF_2
1.438	CH_2O	H	CH_3	4-OCF_2CF_2

1.439	CH_2O	H	CH_3	2-OC_2F_5
1.440	CH_2O	H	CH_3	3-OC_2F_5
1.441	CH_2O	H	CH_3	4-OC_2F_5
1.442	CH_2O	H	CH_3	2-OC_6H_5
1.443	CH_2O	H	CH_3	3-OC_6H_5
1.444	CH_2O	H	CH_3	4-OC_6H_5
1.445	CH_2O	H	CH_3	2-$C(O)C_6H_5$
1.446	CH_2O	H	CH_3	3-$C(O)C_6H_5$
1.447	CH_2O	H	CH_3	4-$C(O)C_6H_5$
1.448	CH_2O	H	CH_3	4-CN
1.449	CH_2O	H	CF_3	H
1.450	CH_2O	H	CF_3	2-Cl
1.451	CH_2O	H	CF_3	3-Cl
1.452	CH_2O	H	CF_3	4-Cl
1.453	CH_2O	H	CF_3	2-F
1.454	CH_2O	H	CF_3	3-F
1.455	CH_2O	H	CF_3	4-F
1.456	CH_2O	H	CF_3	2-CH_3
1.457	CH_2O	H	CF_3	3-CH_3
1.458	CH_2O	H	CF_3	4-CH_3
1.459	CH_2O	H	CF_3	2-OCH_3
1.460	CH_2O	H	CF_3	3-OCH_3
1.461	CH_2O	H	CF_3	4-OCH_3
1.462	CH_2O	H	CF_3	2-CF_3
1.463	CH_2O	H	CF_3	3-CF_3
1.464	CH_2O	H	CF_3	4-CF_3
1.465	CH_2O	H	CF_3	2-OCF_3
1.466	CH_2O	H	CF_3	3-OCF_3
1.467	CH_2O	H	CF_3	4-OCF_3
1.468	CH_2O	H	CF_3	2-OCF_2CF_2
1.469	CH_2O	H	CF_3	3-OCF_2CF_2
1.470	CH_2O	H	CF_3	4-OCF_2CF_2
1.471	CH_2O	H	CF_3	2-OC_2F_5
1.472	CH_2O	H	CF_3	3-OC_2F_5
1.473	CH_2O	H	CF_3	4-OC_2F_5
1.474	CH_2O	H	CF_3	2-OC_6H_5
1.475	CH_2O	H	CF_3	3-OC_6H_5
1.476	CH_2O	H	CF_3	4-OC_6H_5
1.477	CH_2O	H	CF_3	2-$C(O)C_6H_5$
1.478	CH_2O	H	CF_3	3-$C(O)C_6H_5$
1.479	CH_2O	H	CF_3	4-$C(O)C_6H_5$
1.480	CH_2O	H	CF_3	4-CN
1.481	CH_2O	4-OCH_3	H	H
1.482	CH_2O	4-OCH_3	H	2-Cl
1.483	CH_2O	4-OCH_3	H	3-Cl
1.484	CH_2O	4-OCH_3	H	4-Cl
1.485	CH_2O	4-OCH_3	H	2-F
1.486	CH_2O	4-OCH_3	H	3-F
1.487	CH_2O	4-OCH_3	H	4-F
1.488	CH_2O	4-OCH_3	H	2-CH_3

1.489	CH_2O	4-OCH_3	H	3-CH_3
1.490	CH_2O	4-OCH_3	H	4-CH_3
1.491	CH_2O	4-OCH_3	H	2-OCH_3
1.492	CH_2O	4-OCH_3	H	3-OCH_3
1.493	CH_2O	4-OCH_3	H	4-OCH_3
1.494	CH_2O	4-OCH_3	H	2-CF_3
1.495	CH_2O	4-OCH_3	H	3-CF_3
1.496	CH_2O	4-OCH_3	H	4-CF_3
1.497	CH_2O	4-OCH_3	H	2-OCF_3
1.498	CH_2O	4-OCH_3	H	3-OCF_3
1.499	CH_2O	4-OCH_3	H	4-OCF_3
1.500	CH_2O	4-OCH_3	H	2-OCF_2CF_2
1.501	CH_2O	4-OCH_3	H	3-OCF_2CF_2
1.502	CH_2O	4-OCH_3	H	4-OCF_2CF_2
1.503	CH_2O	4-OCH_3	H	2-OC_2F_5
1.504	CH_2O	4-OCH_3	H	3-OC_2F_5
1.505	CH_2O	4-OCH_3	H	4-OC_2F_5
1.506	CH_2O	4-OCH_3	H	2-OC_6H_5
1.507	CH_2O	4-OCH_3	H	3-OC_6H_5
1.508	CH_2O	4-OCH_3	H	4-OC_6H_5
1.509	CH_2O	4-OCH_3	H	2-$C(O)C_6H_5$
1.510	CH_2O	4-OCH_3	H	3-$C(O)C_6H_5$
1.511	CH_2O	4-OCH_3	H	4-$C(O)C_6H_5$
1.512	CH_2O	4-OCH_3	H	4-CN
1.513	CH_2O	4-OCH_3	CH_3	H
1.514	CH_2O	4-OCH_3	CH_3	2-Cl
1.515	CH_2O	4-OCH_3	CH_3	3-Cl
1.516	CH_2O	4-OCH_3	CH_3	4-Cl
1.517	CH_2O	4-OCH_3	CH_3	2-F
1.518	CH_2O	4-OCH_3	CH_3	3-F
1.519	CH_2O	4-OCH_3	CH_3	4-F
1.520	CH_2O	4-OCH_3	CH_3	2-CH_3
1.521	CH_2O	4-OCH_3	CH_3	3-CH_3
1.522	CH_2O	4-OCH_3	CH_3	4-CH_3
1.523	CH_2O	4-OCH_3	CH_3	2-OCH_3
1.524	CH_2O	4-OCH_3	CH_3	3-OCH_3
1.525	CH_2O	4-OCH_3	CH_3	4-OCH_3
1.526	CH_2O	4-OCH_3	CH_3	2-CF_3
1.527	CH_2O	4-OCH_3	CH_3	3-CF_3
1.528	CH_2O	4-OCH_3	CH_3	4-CF_3
1.529	CH_2O	4-OCH_3	CH_3	2-OCF_3
1.530	CH_2O	4-OCH_3	CH_3	3-OCF_3
1.531	CH_2O	4-OCH_3	CH_3	4-OCF_3
1.532	CH_2O	4-OCH_3	CH_3	2-OCF_2CF_2
1.533	CH_2O	4-OCH_3	CH_3	3-OCF_2CF_2
1.534	CH_2O	4-OCH_3	CH_3	4-OCF_2CF_2
1.535	CH_2O	4-OCH_3	CH_3	2-OC_2F_5
1.536	CH_2O	4-OCH_3	CH_3	3-OC_2F_5
1.537	CH_2O	4-OCH_3	CH_3	4-OC_2F_5
1.538	CH_2O	4-OCH_3	CH_3	2-OC_6H_5

1.539	CH_2O	4-OCH_3	CH_3	3-OC_6H_5
1.540	CH_2O	4-OCH_3	CH_3	4-OC_6H_5
1.541	CH_2O	4-OCH_3	CH_3	2-$C(O)C_6H_5$
1.542	CH_2O	4-OCH_3	CH_3	3-$C(O)C_6H_5$
1.543	CH_2O	4-OCH_3	CH_3	4-$C(O)C_6H_5$
1.544	CH_2O	4-OCH_3	CH_3	4-CN
1.545	CH_2O	4-OCH_3	CF_3	H
1.546	CH_2O	4-OCH_3	CF_3	2-Cl
1.547	CH_2O	4-OCH_3	CF_3	3-Cl
1.548	CH_2O	4-OCH_3	CF_3	4-Cl
1.549	CH_2O	4-OCH_3	CF_3	2-F
1.550	CH_2O	4-OCH_3	CF_3	3-F
1.551	CH_2O	4-OCH_3	CF_3	4-F
1.552	CH_2O	4-OCH_3	CF_3	2-CH_3
1.553	CH_2O	4-OCH_3	CF_3	3-CH_3
1.554	CH_2O	4-OCH_3	CF_3	4-CH_3
1.555	CH_2O	4-OCH_3	CF_3	2-OCH_3
1.556	CH_2O	4-OCH_3	CF_3	3-OCH_3
1.557	CH_2O	4-OCH_3	CF_3	4-OCH_3
1.558	CH_2O	4-OCH_3	CF_3	2-CF_3
1.559	CH_2O	4-OCH_3	CF_3	3-CF_3
1.560	CH_2O	4-OCH_3	CF_3	4-CF_3
1.561	CH_2O	4-OCH_3	CF_3	2-OCF_3
1.562	CH_2O	4-OCH_3	CF_3	3-OCF_3
1.563	CH_2O	4-OCH_3	CF_3	4-OCF_3
1.564	CH_2O	4-OCH_3	CF_3	2-OCF_2CF_2
1.565	CH_2O	4-OCH_3	CF_3	3-OCF_2CF_2
1.566	CH_2O	4-OCH_3	CF_3	4-OCF_2CF_2
1.567	CH_2O	4-OCH_3	CF_3	2-OC_2F_5
1.568	CH_2O	4-OCH_3	CF_3	3-OC_2F_5
1.569	CH_2O	4-OCH_3	CF_3	4-OC_2F_5
1.570	CH_2O	4-OCH_3	CF_3	2-OC_6H_5
1.571	CH_2O	4-OCH_3	CF_3	3-OC_6H_5
1.572	CH_2O	4-OCH_3	CF_3	4-OC_6H_5
1.573	CH_2O	4-OCH_3	CF_3	2-$C(O)C_6H_5$
1.574	CH_2O	4-OCH_3	CF_3	3-$C(O)C_6H_5$
1.575	CH_2O	4-OCH_3	CF_3	4-$C(O)C_6H_5$
1.576	CH_2O	4-OCH_3	CF_3	4-CN
1.577	CH_2O	5-Cl	H	H
1.578	CH_2O	5-Cl	H	2-Cl
1.579	CH_2O	5-Cl	H	3-Cl
1.580	CH_2O	5-Cl	H	4-Cl
1.581	CH_2O	5-Cl	H	2-F
1.582	CH_2O	5-Cl	H	3-F
1.583	CH_2O	5-Cl	H	4-F
1.584	CH_2O	5-Cl	H	2-CH_3
1.585	CH_2O	5-Cl	H	3-CH_3
1.586	CH_2O	5-Cl	H	4-CH_3
1.587	CH_2O	5-Cl	H	2-OCH_3
1.588	CH_2O	5-Cl	H	3-OCH_3

1.589	CH_2O	5-Cl	H	4-OCH_3
1.590	CH_2O	5-Cl	H	2-CF_3
1.591	CH_2O	5-Cl	H	3-CF_3
1.592	CH_2O	5-Cl	H	4-CF_3
1.593	CH_2O	5-Cl	H	2-OCF_3
1.594	CH_2O	5-Cl	H	3-OCF_3
1.595	CH_2O	5-Cl	H	4-OCF_3
1.596	CH_2O	5-Cl	H	2-OCF_2CF_2
1.597	CH_2O	5-Cl	H	3-OCF_2CF_2
1.598	CH_2O	5-Cl	H	4-OCF_2CF_2
1.599	CH_2O	5-Cl	H	2-OC_2F_5
1.600	CH_2O	5-Cl	H	3-OC_2F_5
1.601	CH_2O	5-Cl	H	4-OC_2F_5
1.602	CH_2O	5-Cl	H	2-OC_6H_5
1.603	CH_2O	5-Cl	H	3-OC_6H_5
1.604	CH_2O	5-Cl	H	4-OC_6H_5
1.605	CH_2O	5-Cl	H	2-$C(O)C_6H_5$
1.606	CH_2O	5-Cl	H	3-$C(O)C_6H_5$
1.607	CH_2O	5-Cl	H	4-$C(O)C_6H_5$
1.608	CH_2O	5-Cl	H	4-CN
1.609	CH_2O	5-Cl	CH_3	H
1.610	CH_2O	5-Cl	CH_3	2-Cl
1.611	CH_2O	5-Cl	CH_3	3-Cl
1.612	CH_2O	5-Cl	CH_3	4-Cl
1.613	CH_2O	5-Cl	CH_3	2-F
1.614	CH_2O	5-Cl	CH_3	3-F
1.615	CH_2O	5-Cl	CH_3	4-F
1.616	CH_2O	5-Cl	CH_3	2-CH_3
1.617	CH_2O	5-Cl	CH_3	3-CH_3
1.618	CH_2O	5-Cl	CH_3	4-CH_3
1.619	CH_2O	5-Cl	CH_3	2-OCH_3
1.620	CH_2O	5-Cl	CH_3	3-OCH_3
1.621	CH_2O	5-Cl	CH_3	4-OCH_3
1.622	CH_2O	5-Cl	CH_3	2-CF_3
1.623	CH_2O	5-Cl	CH_3	3-CF_3
1.624	CH_2O	5-Cl	CH_3	4-CF_3
1.625	CH_2O	5-Cl	CH_3	2-OCF_3
1.626	CH_2O	5-Cl	CH_3	3-OCF_3
1.627	CH_2O	5-Cl	CH_3	4-OCF_3
1.628	CH_2O	5-Cl	CH_3	2-OCF_2CF_2
1.629	CH_2O	5-Cl	CH_3	3-OCF_2CF_2
1.630	CH_2O	5-Cl	CH_3	4-OCF_2CF_2
1.631	CH_2O	5-Cl	CH_3	2-OC_2F_5
1.632	CH_2O	5-Cl	CH_3	3-OC_2F_5
1.633	CH_2O	5-Cl	CH_3	4-OC_2F_5
1.634	CH_2O	5-Cl	CH_3	2-OC_6H_5
1.635	CH_2O	5-Cl	CH_3	3-OC_6H_5
1.636	CH_2O	5-Cl	CH_3	4-OC_6H_5
1.637	CH_2O	5-Cl	CH_3	2-$C(O)C_6H_5$
1.638	CH_2O	5-Cl	CH_3	3-$C(O)C_6H_5$

1.639	CH_2O	5-Cl	CH_3	4-$C(O)C_6H_5$	
1.640	CH_2O	5-Cl	CH_3	4-CN	
1.641	CH_2O	5-Cl	CF_3	H	
1.642	CH_2O	5-Cl	CF_3	2-Cl	
1.643	CH_2O	5-Cl	CF_3	3-Cl	
1.644	CH_2O	5-Cl	CF_3	4-Cl	
1.645	CH_2O	5-Cl	CF_3	2-F	
1.646	CH_2O	5-Cl	CF_3	3-F	
1.647	CH_2O	5-Cl	CF_3	4-F	
1.648	CH_2O	5-Cl	CF_3	2-CH_3	
1.649	CH_2O	5-Cl	CF_3	3-CH_3	
1.650	CH_2O	5-Cl	CF_3	4-CH_3	
1.651	CH_2O	5-Cl	CF_3	2-OCH_3	
1.652	CH_2O	5-Cl	CF_3	3-OCH_3	
1.653	CH_2O	5-Cl	CF_3	4-OCH_3	
1.654	CH_2O	5-Cl	CF_3	2-CF_3	
1.655	CH_2O	5-Cl	CF_3	3-CF_3	
1.656	CH_2O	5-Cl	CF_3	4-CF_3	
1.657	CH_2O	5-Cl	CF_3	2-OCF_3	
1.658	CH_2O	5-Cl	CF_3	3-OCF_3	
1.659	CH_2O	5-Cl	CF_3	4-OCF_3	
1.660	CH_2O	5-Cl	CF_3	2-OCF_2CF_2	
1.661	CH_2O	5-Cl	CF_3	3-OCF_2CF_2	
1.662	CH_2O	5-Cl	CF_3	4-OCF_2CF_2	
1.663	CH_2O	5-Cl	CF_3	2-OC_2F_5	
1.664	CH_2O	5-Cl	CF_3	3-OC_2F_5	
1.665	CH_2O	5-Cl	CF_3	4-OC_2F_5	
1.666	CH_2O	5-Cl	CF_3	2-OC_6H_5	
1.667	CH_2O	5-Cl	CF_3	3-OC_6H_5	
1.668	CH_2O	5-Cl	CF_3	4-OC_6H_5	
1.669	CH_2O	5-Cl	CF_3	2-$C(O)C_6H_5$	
1.670	CH_2O	5-Cl	CF_3	3-$C(O)C_6H_5$	
1.671	CH_2O	5-Cl	CF_3	4-$C(O)C_6H_5$	
1.672	CH_2O	5-Cl	CF_3	4-CN	
1.673	CH_2O	5-NO_2	H	H	
1.674	CH_2O	5-NO_2	H	2-Cl	m.p. 92°
1.675	CH_2O	5-NO_2	H	3-Cl	
1.676	CH_2O	5-NO_2	H	4-Cl	
1.677	CH_2O	5-NO_2	H	2-F	
1.678	CH_2O	5-NO_2	H	3-F	
1.679	CH_2O	5-NO_2	H	4-F	
1.680	CH_2O	5-NO_2	H	2-CH_3	
1.681	CH_2O	5-NO_2	H	3-CH_3	
1.682	CH_2O	5-NO_2	H	4-CH_3	
1.683	CH_2O	5-NO_2	H	2-OCH_3	
1.684	CH_2O	5-NO_2	H	3-OCH_3	
1.685	CH_2O	5-NO_2	H	4-OCH_3	
1.686	CH_2O	5-NO_2	H	2-CF_3	
1.687	CH_2O	5-NO_2	H	3-CF_3	
1.688	CH_2O	5-NO_2	H	4-CF_3	

1.689	CH_2O	5-NO_2	H	2-OCF_3
1.690	CH_2O	5-NO_2	H	3-OCF_3
1.691	CH_2O	5-NO_2	H	4-OCF_3
1.692	CH_2O	5-NO_2	H	2-OCF_2CF_2
1.693	CH_2O	5-NO_2	H	3-OCF_2CF_2
1.694	CH_2O	5-NO_2	H	4-OCF_2CF_2
1.695	CH_2O	5-NO_2	H	2-OC_2F_5
1.696	CH_2O	5-NO_2	H	3-OC_2F_5
1.697	CH_2O	5-NO_2	H	4-OC_2F_5
1.698	CH_2O	5-NO_2	H	2-OC_6H_5
1.699	CH_2O	5-NO_2	H	3-OC_6H_5
1.700	CH_2O	5-NO_2	H	4-OC_6H_5
1.701	CH_2O	5-NO_2	H	2-$C(O)C_6H_5$
1.702	CH_2O	5-NO_2	H	3-$C(O)C_6H_5$
1.703	CH_2O	5-NO_2	H	4-$C(O)C_6H_5$
1.704	CH_2O	5-NO_2	H	4-CN
1.705	CH_2O	5-NO_2	CH_3	H
1.706	CH_2O	5-NO_2	CH_3	2-Cl
1.707	CH_2O	5-NO_2	CH_3	3-Cl
1.708	CH_2O	5-NO_2	CH_3	4-Cl
1.709	CH_2O	5-NO_2	CH_3	2-F
1.710	CH_2O	5-NO_2	CH_3	3-F
1.711	CH_2O	5-NO_2	CH_3	4-F
1.712	CH_2O	5-NO_2	CH_3	2-CH_3
1.713	CH_2O	5-NO_2	CH_3	3-CH_3
1.714	CH_2O	5-NO_2	CH_3	4-CH_3
1.715	CH_2O	5-NO_2	CH_3	2-OCH_3
1.716	CH_2O	5-NO_2	CH_3	3-OCH_3
1.717	CH_2O	5-NO_2	CH_3	4-OCH_3
1.718	CH_2O	5-NO_2	CH_3	2-CF_3
1.719	CH_2O	5-NO_2	CH_3	3-CF_3
1.720	CH_2O	5-NO_2	CH_3	4-CF_3
1.721	CH_2O	5-NO_2	CH_3	2-OCF_3
1.722	CH_2O	5-NO_2	CH_3	3-OCF_3
1.723	CH_2O	5-NO_2	CH_3	4-OCF_3
1.724	CH_2O	5-NO_2	CH_3	2-OCF_2CF_2
1.725	CH_2O	5-NO_2	CH_3	3-OCF_2CF_2
1.726	CH_2O	5-NO_2	CH_3	4-OCF_2CF_2
1.727	CH_2O	5-NO_2	CH_3	2-OC_2F_5
1.728	CH_2O	5-NO_2	CH_3	3-OC_2F_5
1.729	CH_2O	5-NO_2	CH_3	4-OC_2F_5
1.730	CH_2O	5-NO_2	CH_3	2-OC_6H_5
1.731	CH_2O	5-NO_2	CH_3	3-OC_6H_5
1.732	CH_2O	5-NO_2	CH_3	4-OC_6H_5
1.733	CH_2O	5-NO_2	CH_3	2-$C(O)C_6H_5$
1.734	CH_2O	5-NO_2	CH_3	3-$C(O)C_6H_5$
1.735	CH_2O	5-NO_2	CH_3	4-$C(O)C_6H_5$
1.736	CH_2O	5-NO_2	CH_3	4-CN
1.737	CH_2O	5-NO_2	CF_3	H
1.738	CH_2O	5-NO_2	CF_3	2-Cl

1.739	CH_2O	5-NO_2	CF_3	3-Cl
1.740	CH_2O	5-NO_2	CF_3	4-Cl
1.741	CH_2O	5-NO_2	CF_3	2-F
1.742	CH_2O	5-NO_2	CF_3	3-F
1.743	CH_2O	5-NO_2	CF_3	4-F
1.744	CH_2O	5-NO_2	CF_3	2-CH_3
1.745	CH_2O	5-NO_2	CF_3	3-CH_3
1.746	CH_2O	5-NO_2	CF_3	4-CH_3
1.747	CH_2O	5-NO_2	CF_3	2-OCH_3
1.748	CH_2O	5-NO_2	CF_3	3-OCH_3
1.749	CH_2O	5-NO_2	CF_3	4-OCH_3
1.750	CH_2O	5-NO_2	CF_3	2-CF_3
1.751	CH_2O	5-NO_2	CF_3	3-CF_3
1.752	CH_2O	5-NO_2	CF_3	4-CF_3
1.753	CH_2O	5-NO_2	CF_3	2-OCF_3
1.754	CH_2O	5-NO_2	CF_3	3-OCF_3
1.755	CH_2O	5-NO_2	CF_3	4-OCF_3
1.756	CH_2O	5-NO_2	CF_3	2-OCF_2CF_2
1.757	CH_2O	5-NO_2	CF_3	3-OCF_2CF_2
1.758	CH_2O	5-NO_2	CF_3	4-OCF_2CF_2
1.759	CH_2O	5-NO_2	CF_3	2-OC_2F_5
1.760	CH_2O	5-NO_2	CF_3	3-OC_2F_5
1.761	CH_2O	5-NO_2	CF_3	4-OC_2F_5
1.762	CH_2O	5-NO_2	CF_3	2-OC_6H_5
1.763	CH_2O	5-NO_2	CF_3	3-OC_6H_5
1.764	CH_2O	5-NO_2	CF_3	4-OC_6H_5
1.765	CH_2O	5-NO_2	CF_3	2-$C(O)C_6H_5$
1.766	CH_2O	5-NO_2	CF_3	3-$C(O)C_6H_5$
1.767	CH_2O	5-NO_2	CF_3	4-$C(O)C_6H_5$
1.768	CH_2O	5-NO_2	CF_3	4-CN

Biological Examples:

1. In-vivo test on *Trichostrongylus colubriformis* and *Haemonchus contortus* on Mongolian gerbils (*Meriones unguiculatus*) using peroral application

Six to eight week old Mongolian gerbils are infected by artificial feeding with ca. 2000 third instar larvae each of *T. colubriformis* and *H. contortus*. 6 days after infection, the gerbils are lightly anaesthetised with N_2O and treated by peroral application with the test compounds, dissolved in a mixture of 2 parts DMSO and 1 part polyethylene glycol (PEG 300), in quantities of 100, 32 and 10 -0.1 mg/kg. On day 9 (3 days after treatment), when most of the *H. contortus* that are still present are late 4th instar larvae and most of the *T. colubriformis* are immature adults, the gerbils are killed in order to count the worms. The efficacy is calculated as the % reduction of the number of worms in each gerbil, compared with the geometric average of number of worms from 8 infected and untreated gerbils.

In this test, a vast reduction in nematode infestation is achieved with compounds of formula I, especially from Table 1.

To examine the insecticidal and/or acaricidal activity of the compounds of formula I on animals and plants, the following test methods may be used.

2. Activity on L_1 larvae of *Lucilia sericata*

1 ml of an aqueous suspension of the active substance to be tested is admixed with 3 ml of a special larvae growth medium at ca. 50°C, so that a homogenate of either 250 or 125 ppm of active ingredient content is obtained. Ca. 30 *Lucilia* larvae (L_1) are used in each test tube sample. After 4 days, the mortality rate is determined.

3. Acaricidal activity on *Boophilus microplus* (Biarra strain)

A piece of sticky tape is attached horizontally to a PVC sheet, so that 10 fully engorged female ticks of *Boophilus microplus* (Biarra strain) can be adhered thereto by their backs, side by side, in a row. Using an injection needle, 1 µl of a liquid is injected into each tick. The liquid is a 1:1 mixture of polyethylene glycol and acetone and it contains, dissolved therein, a certain amount of active ingredient chosen from 1, 0.1 or 0.01 µg per tick. Control animals are given an injection without active ingredient. After treatment, the animals are kept under normal conditions in an insectarium at ca. 28°C and at 80% relative humidity until oviposition takes place and the larvae have hatched from the eggs of the control animals. The activity of a tested substance is determined by IR_{90}, i.e. an evaluation is made of the dosage of active

ingredient at which 9 out of 10 female ticks (=90%) lay eggs that are infertile even after 30 days.

4. *In vitro* efficacy on engorged female *Boophilus microplus* (BIARRA):

4x10 engorged female ticks of the OP-resistant BIARRA strain are adhered to a sticky strip and covered for 1 hour with a cotton-wool ball soaked in an emulsion or suspension of the test compound in concentrations of 500, 125, 31 and 8 ppm respectively. Evaluation takes place 28 days later based on mortality, oviposition and hatched larvae.

An indication of the activity of the test compounds is shown by the number of females that
- die quickly before laying eggs,
- survive for some time without laying eggs,
- lay eggs in which no embryos are formed,
- lay eggs in which embryos form, from which no larvae hatch, and
- lay eggs in which embryos form, from which larvae normally hatch within 26 to 27 days.

5. *In vitro* efficacy on nymphs of *Amblyomma hebraeum*

About 5 fasting nymphs are placed in a polystyrene test tube containing 2 ml of the test compound in solution, suspension or emulsion.

After immersion for 10 minutes, and shaking for 2x10 seconds on a vortex mixer, the test tubes are blocked up with a tight wad of cotton wool and rotated. As soon as all the liquid has been soaked up by the cotton wool ball, it is pushed half-way into the test tube which is still being rotated, so that most of the liquid is squeezed out of the cotton-wool ball and flows into a Petri dish below.

The test tubes are then kept at room temperature in a room with daylight until evaluated. After 14 days, the test tubes are immersed in a beaker of boiling water. If the ticks begin to move in reaction to the heat, the test substance is inactive at the tested concentration, otherwise the ticks are regarded as dead and the test substances regarded as active at the tested concentration. All substances are tested in a concentration range of 0.1 to 100 ppm.

6. Activity against *Dermanyssus gallinae*

2 to 3 ml of a solution containing 10 ppm active ingredient, and ca. 200 mites (*Dermanyssus gallinae*) at different stages of development are added to a glass container which is open at the top. Then the container is closed with a wad of cotton wool, shaken for 10 minutes until the mites are completely wet, and then inverted briefly so that the remaining test solution can

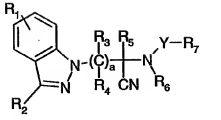
be absorbed by the cotton wool. After 3 days, the mortality of the mites is determined by counting the dead individuals and indicated as a percentage.

7. Activity against *Musca domestica*

A sugar cube is treated with a solution of the test substance in such a way that the concentration of test substance in the sugar, after drying over night, is 250 ppm. The cube treated in this way is placed on an aluminium dish with wet cotton wool and 10 adult *Musca domestica* of an OP-resistant strain, covered with a beaker and incubated at 25°C. The mortality rate is determined after 24 hours.

What we claim is:

1. Compounds of formula



I,

wherein

R_1 signifies hydrogen, halogen, cyano, nitro, C_1-C_6-alkyl, C_3-C_6-cycloalkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkylthio, C_1-C_6-alkoxy, C_3-C_6-cycloalkyloxy, halo-C_1-C_6-alkoxy, C_1-C_6-alkylcarbonyl, halo-C_1-C_6-alkylcarbonyl, C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl, C_1-C_6-alkylamino, di(C_1-C_6-alkyl)amino or unsubstituted or substituted phenoxy, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_6-alkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkoxy, halo-C_1-C_6-alkoxy, C_1-C_6-alkylthio, halo-C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, halo-C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl and halo-C_1-C_6-alkylsulfonyl;

R_2 signifies hydrogen, C_1-C_6-alkyl, C_3-C_6-cycloalkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkylthio, C_1-C_6-alkoxy, C_3-C_6-cycloalkyloxy, halo-C_1-C_6-alkoxy, C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl, NR_8R_9, hetaryl which is unsubstituted or substituted once or many times, phenyl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_6-alkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkoxy and halo-C_1-C_6-alkoxy;

R_3, R_4 und R_5 either, independently of one another, signify hydrogen, halogen, C_1-C_6-alkyl, halo-C_1-C_6-alkyl; C_3-C_6-cycloalkyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen and C_1-C_6-alkyl; phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_6-alkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkoxy, halo-C_1-C_6-alkoxy, C_1-C_6-alkylthio, halo-C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, halo-C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl, halo-C_1-C_6-alkylsulfonyl, C_1-C_6-alkylamino or di-(C_1-C_6-alkyl)amino;
or R_4 and R_5 together signify C_2-C_6-alkylene;

R_5 signifies hydrogen, C_1-C_6-alkyl, C_1-C_6-alkylcarbonyl, C_1-C_6-alkoxy-C_1-C_6-alkyl, aminocarbonyl, C_1-C_6-alkoxycarbonyl, halo-C_1-C_6-alkylcarbonyl, thio-C_1-C_6-alkylcarbonyl or benzyl;

R_7 signifies hydrogen, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_1-C_6-alkylamino, di(C_1-C_6-alkyl)amino, aryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_6-alkyl, C_3-C_6-cycloalkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkoxy, C_3-C_6-cycloalkyloxy, halo-C_1-C_6-alkoxy, C_2-C_6-alkenyl, halo-C_2-C_6-alkenyl, C_2-C_6-alkinyl, C_3-C_6-cycloalkyl, C_2-C_6-alkenyloxy, halo-C_2-C_6-alkenyloxy, C_1-C_6-alkylthio, halo-C_1-C_6-alkylthio, C_1-C_6-alkylsulfonyloxy, halo-C_1-C_6-alkylsulfonyloxy, C_1-C_6-alkylsulfinyl, halo-C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl, halo-C_1-C_6-alkylsulfonyl, C_2-C_6-alkenylthio, halo-C_2-C_6-alkenylthio, C_2-C_6-alkenylsulfinyl, halo-C_2-C_6-alkenylsulfinyl, C_2-C_6-alkenylsulfonyl, halo-C_2-C_6-alkenylsulfonyl, C_1-C_6-alkylamino, di(C_1-C_6-alkyl)amino, C_1-C_6-alkylsulfonylamino, halo-C_1-C_6-alkylsulfonylamino, C_1-C_6-alkylcarbonyl, halo-C_1-C_6-alkylcarbonyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-alkylaminocarbonyl, di(C_1-C_6-alkyl)aminocarbonyl; aryl-C_1-C_6-alkyl which is unsubstituted or substituted once or many times, arylamino which is unsubstituted or substituted once or many times, arylcarbonyl which is unsubstituted or substituted once or many times, arylcarbonyloxy which is unsubstituted or substituted once or many times, aryloxy which is unsubstituted or substituted once or many times, aryloxy-C_1-C_6-alkyl which is unsubstituted or substituted once or many times, hetaryloxy-C_1-C_6-alkyl which is unsubstituted or substituted once or many times, aryloxycarbonyl which is unsubstituted or substituted once or many times, arylsulfonyl which is unsubstituted or substituted once or many times, arylsulfonylamino which is unsubstituted or substituted once or many times, pyridyloxy which is unsubstituted or substituted once or many times, and phenylacetylenyl which is unsubstituted or substituted once or many times, whereby the substituents may each be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_6-alkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkoxy, halo-C_1-C_6-alkoxy, C_1-C_6-alkylthio, halo-C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, halo-C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl and halo-C_1-C_6-alkylsulfonyl;

hetaryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_6-alkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkoxy, halo-C_1-C_6-alkoxy, C_2-C_6-alkenyloxy, halo-C_2-C_6-alkenyloxy, C_1-C_6-alkylthio, halo-C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, halo-C_1-C_6-alkylsulfinyl, C_2-C_6-alkenylthio, halo-C_2-C_6-alkenylthio, C_2-C_6-alkenylsulfinyl, halo-

C_2-C_6-alkenylsulfinyl, C_1-C_6-alkylsulfonyl, halo-C_1-C_6-alkylsulfonyl, C_2-C_6-alkenylsulfonyl, halo-C_2-C_6-alkenylsulfonyl, C_1-C_6-alkylamino and di(C_1-C_6-alkyl)amino; or unsubstituted naphthyl or quinolyl, or naphthyl or quinolyl which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_6-alkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkoxy, halo-C_1-C_6-alkoxy, C_2-C_6-alkenyloxy, halo-C_2-C_6-alkenyloxy, C_1-C_6-alkylthio, halo-C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, halo-C_1-C_6-alkylsulfinyl, C_2-C_6-alkenylthio, halo-C_2-C_6-alkenylthio, C_2-C_6-alkenylsulfinyl, halo-C_2-C_6-alkenylsulfinyl, C_1-C_6-alkylsulfonyl and halo-C_1-C_6-alkylsulfonyl, C_2-C_6-alkenylsulfonyl, halo-C_2-C_6-alkenylsulfonyl, C_1-C_6-alkylamino and di-C_1-C_6-alkylamino

R_8 and R_9, independently of one another, signify hydrogen, C_1-C_6-alkyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-alkylcarbonyl, C_1-C_6-alkylthiocarbonyl, thio-C_1-C_6-alkylcarbonyl, aryl or hetaryl;

Y signifies a direct bond, C(O), C(S) or $S(O)_n$;

a signifies 1, 2 or 3; and

n is 1 or 2.

2. A compound of formula I, according to claim 1, wherein R_1 signifies hydrogen, halogen, cyano, nitro, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_1-C_4-alkylcarbonyl, halo-C_1-C_4-alkylcarbonyl, C_1-C_4-alkylsulfonyl or unsubstituted or substituted phenoxy, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy and halo-C_1-C_4-alkoxy.

3. A compound of formula I, according to claim 1, wherein R_1 signifies hydrogen, halogen, cyano, nitro, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, or unsubstituted or substituted phenoxy, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy and halo-C_1-C_4-alkoxy.

4. A compound of formula I, according to claim 1, wherein R_1 signifies hydrogen, halogen, cyano, nitro, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy or halo-C_1-C_2-alkoxy.

5. A compound of formula I, according to claim 1, wherein R_2 signifies hydrogen, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkylthio, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy or C_1-C_4-alkylsulfonyl.

6. A compound of formula I, according to claim 1, wherein R_2 signifies hydrogen, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy or halo-C_1-C_2-alkoxy;

7. A compound of formula I according to claim 1, wherein R_2 is hydrogen, methyl or halomethyl.

8. A compound of formula I, according to claim 1, wherein R_3, R_4 and R_5 , independently of one another, are hydrogen, halogen, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_3-C_6-cycloalkyl; phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy; C_1-C_4-alkylthio and halo-C_1-C_4-alkylthio; or R_4 and R_5 together are C_2-C_6-alkylene.

9. A compound of formula I according to claim 1, wherein R_3, R_4 and R_5 are hydrogen, halogen, C_1-C_2-alkyl, halo-C_1-C_2-alkyl or C_3-C_6-cycloalkyl.

10. A compound of formula I according to claim 1, wherein R_3, R_4 and R_5 are hydrogen, methyl or halomethyl.

11. A compound of formula I, according to claim 1, wherein R_6 is hydrogen, C_1-C_4-alkyl, C_1-C_4-alkylcarbonyl, C_1-C_6-alkoxy-C_1-C_6-alkyl or benzyl.

12. A compound of formula I, according to claim 1, wherein R_6 is hydrogen, C_1-C_2-alkyl, C_1-C_2-alkylcarbonyl or benzyl.

13. A compound of formula I according to claim 1, wherein R_6 is hydrogen or C_1-C_2-alkyl.

14. A compound of formula I, according to claim 1, wherein R_7 signifies aryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_2-C_4-alkenyl, halo-C_2-C_4-alkenyl, C_2-C_4-alkinyl, C_3-C_6-cycloalkyl, C_2-C_4-alkenyloxy, halo-C_2-C_4-alkenyloxy, C_1-C_4-alkylthio, halo-C_1-C_4-alkylthio, C_1-C_4-alkylsulfonyloxy, halo-C_1-C_4-alkylsulfonyloxy, C_1-C_4-alkylsulfonyl, halo-C_1-C_4-alkylsulfonyl, C_2-C_4-alkenylsulfonyl, halo-C_2-C_4-alkenylsulfonyl, C_1-C_4-alkylamino, di(C_1-C_4-alkyl)amino, C_1-C_4-alkylcarbonyl, halo-C_1-C_4-alkylcarbonyl, C_1-C_6-alkoxycarbonyl; aryl-C_1-C_4-alkyl which is unsubstituted or substituted once or many times, aryloxy which is unsubstituted or substituted once or many times, aryloxy-C_1-C_4-alkyl which is unsubstituted or substituted once or many times, hetaryloxy-C_1-C_4-alkyl which is unsubstituted or substituted once or many times, aryloxycarbonyl which is unsubstituted or substituted once or many times, arylsulfonyl which is unsubstituted or substituted once or

many times, and pyridyloxy which is unsubstituted or substituted once or many times, whereby the substituents may each be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_{1-4}-alkylthio, halo-C_{1-4}-alkylthio, C_1-C_4-alkylsulfonyl and halo-C_1-C_4-alkylsulfonyl;

hetaryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_2-C_4-alkenyloxy, halo-C_2-C_4-alkenyloxy, C_1-C_4-alkylthio, halo-C_1-C_4-alkylthio, C_1-C_4-alkylsulfonyl and halo-C_1-C_4-alkylsulfonyl; or

naphthyl or quinolyl which are unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_2-C_4-alkenyloxy, halo-C_2-C_4-alkenyloxy, C_1-C_4-alkylthio, halo-C_1-C_4-alkylthio, C_2-C_4-alkenylthio, halo-C_2-C_4-alkenylthio, C_1-C_4-alkylsulfonyl and halo-C_1-C_4-alkylsulfonyl;

15. A compound of formula I, according to claim 1, wherein R_7 signifies aryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, C_3-C_5-cycloalkyl, C_1-C_2-alkylthio, halo-C_1-C_2-alkylthio, C_1-C_2-alkylsulfonyl, halo-C_1-C_2-alkylsulfonyl, C_1-C_2-alkylcarbonyl, halo-C_1-C_2-alkylcarbonyl, C_1-C_2-alkoxycarbonyl; aryl-C_1-C_2-alkyl which is unsubstituted or substituted once or many times, aryloxy which is unsubstituted or substituted once or many times, aryloxy-C_1-C_2-alkyl which is unsubstituted or substituted once or many times, and pyridyloxy which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, C_1-C_2-alkylthio, halo-C_1-C_2-alkylthio, C_1-C_2-alkylsulfonyl and halo-C_1-C_2-alkylsulfonyl; or

hetaryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, C_2-C_4-alkenyloxy, halo-C_2-C_4-alkenyloxy, C_1-C_2-alkylthio, halo-C_1-C_2-alkylthio, C_1-C_2-alkylsulfonyl and halo-C_1-C_2-alkylsulfonyl.

16. A compound of formula I, according to claim 1, wherein R_7 signifies aryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, C_3-C_5-cycloalkyl, C_1-C_2-alkylcarbonyl, halo-C_1-C_2-alkylcarbonyl, C_1-C_2-alkoxycarbonyl; aryl-C_1-C_2-alkyl which is unsubstituted or substituted once or many times, and aryloxy-C_1-C_2-alkyl which is unsubstituted or substituted once or many times, whereby the substituents may each be independent of one another and are selected from the group consisting of halogen, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy and halo-C_1-C_2-alkoxy.

17. A compound of formula I, according to claim 1, wherein R_8 und R_9 independently of one another, are hydrogen, C_1-C_6-alkyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-alkylcarbonyl or aryl.

18. A compound of formula I according to claim 1, wherein R_8 and R_9 independently of one another are hydrogen or C_1-C_4-alkyl.

19. A compound of formula I according to claim 1, wherein R_8 and R_9 independently of one another are hydrogen or C_1-C_2-alkyl.

20. A compound of formula I, according to claim 1, wherein Y is C(O) or $S(O)_n$.

21. A compound of formula I, according to claim 1, wherein Y is C(O).

22. A compound of formula I according to claim 1, wherein a is 1 or 2.

23. A compound of formula I according to claim 1, wherein a is 1.

24. A compound of formula I according to claim 1, wherein n is 2.

25. A compound of formula I, according to claim 1, wherein R_1 signifies hydrogen, halogen, cyano, nitro, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_1-C_4-alkylcarbonyl, halo-C_1-C_4-alkylcarbonyl, C_1-C_4-alkylsulfonyl or unsubstituted or substituted phenoxy, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy and halo-C_1-C_4-alkoxy;

R_2 is hydrogen, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkylthio, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy or C_1-C_4-alkylsulfonyl;

R_3, R_4 and R_5 , independently of one another, are hydrogen, halogen, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_3-C_6-cycloalkyl; phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from

the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy; C_1-C_4-alkylthio and halo-C_1-C_4-alkylthio; or R_4 and R_5 together are C_2-C_6-alkylene;

R_6 is hydrogen, C_1-C_4-alkyl, C_1-C_4-alkylcarbonyl, C_1-C_6-alkoxy-C_1-C_6-alkyl or benzyl;

R_7 signifies aryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_2-C_4-alkenyl, halo-C_2-C_4-alkenyl, C_2-C_4-alkinyl, C_3-C_6-cycloalkyl, C_2-C_4-alkenyloxy, halo-C_2-C_4-alkenyloxy, C_1-C_4-alkylthio, halo-C_1-C_4-alkylthio, C_1-C_4-alkylsulfonyloxy, halo-C_1-C_4-alkylsulfonyloxy, C_1-C_4-alkylsulfonyl, halo-C_1-C_4-alkylsulfonyl, C_2-C_4-alkenylsulfonyl, halo-C_2-C_4-alkenylsulfonyl, C_1-C_4-alkylamino, di(C_1-C_4-alkyl)amino, C_1-C_4-alkylcarbonyl, halo-C_1-C_4-alkylcarbonyl, C_1-C_6-alkoxycarbonyl; aryl-C_1-C_4-alkyl which is unsubstituted or substituted once or many times, aryloxy which is unsubstituted or substituted once or many times, aryloxy-C_1-C_4-alkyl which is unsubstituted or substituted once or many times, hetaryloxy-C_1-C_4-alkyl which is unsubstituted or substituted once or many times, aryloxycarbonyl which is unsubstituted or substituted once or many times, arylsulfonyl which is unsubstituted or substituted once or many times, and pyridyloxy which is unsubstituted or substituted once or many times, whereby the substituents may each be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_1-C_4-alkylthio, halo-C_1-C_4-alkylthio, C_1-C_4-alkylsulfonyl and halo-C_1-C_4-alkylsulfonyl;
hetaryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_2-C_4-alkenyloxy, halo-C_2-C_4-alkenyloxy, C_1-C_4-alkylthio, halo-C_1-C_4-alkylthio, C_1-C_4-alkylsulfonyl and halo-C_1-C_4-alkylsulfonyl; or
naphthyl or quinolyl which are unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy, halo-C_1-C_4-alkoxy, C_2-C_4-alkenyloxy, halo-C_2-C_4-alkenyloxy, C_1-C_4-alkylthio, halo-C_1-C_4-alkylthio, C_2-C_4-alkenylthio, halo-C_2-C_4-alkenylthio, C_1-C_4-alkylsulfonyl and halo-C_1-C_4-alkylsulfonyl;

R_8 und R_9 independently of one another, signify hydrogen, C_1-C_6-alkyl, C_1-C_6-alkoxycarbonyl, C_1-C_6-alkylcarbonyl or aryl;

Y is C(O) or $S(O)_n$;

a signifies 1 or 2;and

n is 2.

26. A compound of formula I, according to claim 1, wherein R_1 signifies hydrogen, halogen, cyano, nitro, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, or unsubstituted or substituted phenoxy, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, C_1-C_4-alkoxy and halo-C_1-C_4-alkoxy;

R_2 signifies hydrogen, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy or halo-C_1-C_2-alkoxy;

R_3, R_4 and R_5, independently of one another, signify hydrogen, halogen, C_1-C_2-alkyl, halo-C_1-C_2-alkyl or C_3-C_6-cycloalkyl;

R_6 signifies hydrogen, C_1-C_2-alkyl, C_1-C_2-alkylcarbonyl or benzyl;

R_7 signifies aryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, C_3-C_6-cycloalkyl, C_1-C_2-alkylthio, halo-C_1-C_2-alkylthio, C_1-C_2-alkylsulfonyl, halo-C_1-C_2-alkylsulfonyl, C_1-C_2-alkylcarbonyl, halo-C_1-C_2-alkylcarbonyl, C_1-C_2-alkoxycarbonyl; aryl-C_1-C_2-alkyl which is unsubstituted or substituted once or many times, aryloxy which is unsubstituted or substituted once or many times, aryloxy-C_1-C_2-alkyl which is unsubstituted or substituted once or many times, and pyridyloxy which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, C_1-C_2-alkylthio, halo-C_1-C_2-alkylthio, C_1-C_2-alkylsulfonyl and halo-C_1-C_2-alkylsulfonyl; or

hetaryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, C_2-C_4-alkenyloxy, halo-C_2-C_4-alkenyloxy, C_1-C_2-alkylthio, halo-C_1-C_2-alkylthio, C_1-C_2-alkylsulfonyl and halo-C_1-C_2-alkylsulfonyl;

R_8 and R_9, independently of one another, signify hydrogen or C_1-C_4-alkyl;

Y signifies C(O);

a signifies 1; and

n is 2.

27. A compound of formula I, according to claim 1, wherein R_1 signifies hydrogen, halogen, cyano, nitro, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy or halo-C_1-C_2-alkoxy;

R_2 is hydrogen, methyl or halomethyl;

R_3, R_4 and R_5, independently of one another, signify hydrogen, methyl or halomethyl;

R_6 signifies hydrogen or C_1-C_2-alkyl;

R_7 signifies aryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy, halo-C_1-C_2-alkoxy, C_3-C_5-cycloalkyl, C_1-C_2-alkylcarbonyl, halo-C_1-C_2-alkylcarbonyl, C_1-C_2-alkoxycarbonyl; aryl-C_1-C_2-alkyl which is unsubstituted or substituted once or many times, and aryloxy-C_1-C_2-alkyl which is unsubstituted or substituted once or many times, whereby the substituents may each be independent of one another and are selected from the group consisting of halogen, cyano, C_1-C_2-alkyl, halo-C_1-C_2-alkyl, C_1-C_2-alkoxy and halo-C_1-C_2-alkoxy;

R_8 and R_9, independently of one another, signify hydrogen or C_1-C_2-alkyl;

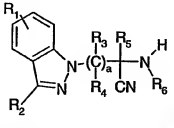
Y signifies C(O);

a signifies 1; and

n is 2.

28. A compound of formula I, according to claim 1, having the name N-[1-cyano-1-methyl-2-(5-nitroindazol-1-yl)-ethyl]-4-trifluoromethoxybenzamide.

29. Process for the preparation of compounds of formula I, respectively in free form or in salt form, according to claim 1, whereby a compound of formula



II,

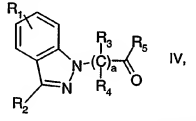
which is known or may be produced analogously to corresponding known compounds, and wherein R_1, R_2, R_3, R_4, R_5, R_6 and a are defined as given for formula I, is reacted with a

compound of formula

$$Q-Y-R_7 \quad III,$$

which is known or may be prepared analogously to corresponding known compounds, and wherein Y and R_7 are defined as given for formula I and Q is a leaving group, optionally in the presence of a basic catalyst, and if desired, a compound of formula I obtainable according to the method or in another way, respectively in free form or in salt form, is converted into another compound of formula I, a mixture of isomers obtainable according to the method is separated and the desired isomer isolated and/or a free compound of formula I obtainable according to the method is converted into a salt or a salt of a compound of formula I obtainable according to the method is converted into the free compound of formula I or into another salt.

30. Process for the preparation of compounds of formula II, respectively in free form or in salt form, according to claim 29, whereby a compound of formula



IV,

which is known or may be produced analogously to corresponding known compounds, in which R_1, R_2, R_3, R_4, R_5 and a are defined as for formula I, is reacted with an inorganic or organic cyanide and a compound of formula R_6-NH_2, which is known or may be produced analogously to corresponding known compounds and wherein R_6 is defined as for formula I, and if desired, a compound of formula II obtainable according to the method or in another way, respectively in free form or in salt form, is converted into another compound of formula II, a mixture of isomers obtainable according to the method is separated and the desired isomer isolated and/or a free compound of formula II obtainable according to the method is converted into a salt or a salt of a compound of formula II obtainable according to the method is converted into the free compound of formula II or into another salt.

31. Composition for the control of parasites, which contains as active ingredient at least one compound of formula I according to claim 1, in addition to carriers and/or dispersants.

32. Use of compounds of formula I according to claim 1 in the control of parasites.

33. Method of controlling parasites, whereby an effective amount of at least one compound

of formula I according to claim 1 is used on the parasites.

34. Use of a compound of formula I according to claim 1 in a process for controlling parasites on warm-blooded animals.

35. Use of a compound of formula I according to claim 1 in the preparation of a pharmaceutical composition against parasites on warm-blooded animals.

INTERNATIONAL SEARCH REPORT

Interna Application No
PCT/EP 03/05992

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D231/56 A01N43/56

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 0 953 565 A (NIHON NOHYAKU CO LTD) 3 November 1999 (1999-11-03) cited in the application claims 1,4	1,31
A	DE 196 42 863 A (BAYER AG) 23 April 1998 (1998-04-23) claims 1,9	1,31
A	US 4 943 584 A (THEOBALD HANS ET AL) 24 July 1990 (1990-07-24) column 1, line 6 -column 2, line 58	1,31

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

'A' document defining the general state of the art which is not considered to be of particular relevance

'E' earlier document but published on or after the international filing date

'L' document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

'O' document referring to an oral disclosure, use, exhibition or other means

'P' document published prior to the international filing date but later than the priority date claimed

'T' later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

'X' document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

'Y' document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

'&' document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
20 August 2003	28/08/2003

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Fanni, S

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

Int nal application No.
PCT/EP 03/05992

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. [X] Claims Nos.: 33, 34
because they relate to subject matter not required to be searched by this Authority, namely:

see FURTHER INFORMATION sheet PCT/ISA/210

2. [ ] Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. [ ] Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. [ ] As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. [ ] As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. [ ] As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. [ ] No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

[ ] The additional search fees were accompanied by the applicant's protest.

[ ] No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.1

Although claims 33 and 34 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

Continuation of Box I.1

Claims Nos.: 33,34

Rule 39.1(iv) PCT - Method for treatment of the human or animal body by therapy

INTERNATIONAL SEARCH REPORT

Information on patent family members

Interna application No
PCT/EP 03/05992

Patent document cited in search report		Publication date	Patent family member(s)		Publication date
EP 0953565	A	03-11-1999	AU	752112 B2	05-09-2002
			AU	2602799 A	11-11-1999
			CN	1234177 A	10-11-1999
			EP	0953565 A2	03-11-1999
			JP	2000026392 A	25-01-2000
			US	6239077 B1	29-05-2001
DE 19642863	A	23-04-1998	DE	19642863 A1	23-04-1998
			AU	4780597 A	15-05-1998
			CN	1234020 A	03-11-1999
			DE	59704731 D1	31-10-2001
			WO	9817630 A1	30-04-1998
			EP	0934252 A1	11-08-1999
			JP	2001504095 T	27-03-2001
			PL	332776 A1	11-10-1999
US 4943584	A	24-07-1990	DE	3713337 A1	10-11-1988
			DE	3803703 A1	17-08-1989
			AT	88702 T	15-05-1993
			BR	8801878 A	22-11-1988
			CA	1331619 C	23-08-1994
			DE	3880544 D1	03-06-1993
			EP	0287959 A1	26-10-1988
			ES	2054725 T3	16-08-1994
			HU	47376 A2	28-03-1989
			IL	86098 A	18-08-1992
			JP	1063568 A	09-03-1989
			JP	2534315 B2	11-09-1996
			KR	9610354 B1	30-07-1996
			MX	9203407 A1	01-08-1992
			SU	1655293 A3	07-06-1991
			ZA	8802757 A	27-12-1989